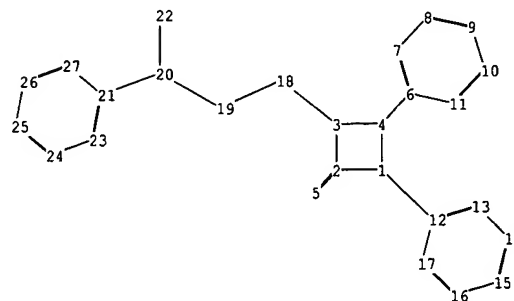
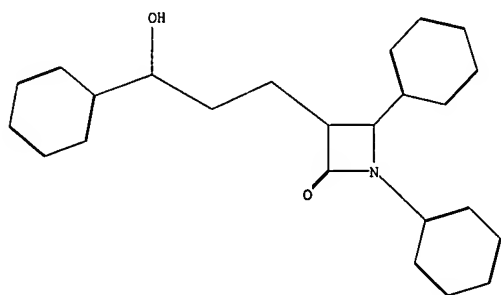


| L Number | Hits | Search Text | DB | Time stamp |
|----------|------|----------------------------|--|------------------|
| 1 | 387 | 536/17.4 | USPAT; US-PGPUB; EPO; DERWENT | 2003/09/07 09:55 |
| 2 | 4 | 536/17.4 and azetidinone | USPAT; US-PGPUB; EPO; DERWENT | 2003/09/07 09:56 |
| 3 | 2381 | 514/23 | USPAT; US-PGPUB; EPO; DERWENT | 2003/09/07 09:56 |
| 4 | 9 | 514/23 and azetidinone | USPAT; US-PGPUB; EPO; DERWENT | 2003/09/07 10:02 |
| 5 | 133 | 514/210.02 | USPAT; US-PGPUB; EPO; DERWENT | 2003/09/07 10:03 |
| 6 | 62 | 514/210.02 and azetidinone | USPAT; US-PGPUB; EPO; DERWENT | 2003/09/07 10:03 |

(Untitled)



chain nodes :

5 18 19 20 22

ring nodes :

1 2 3 4 6 7 8 9 10 11 12 13 14 15 16 17 21 23 24 25 26 27

chain bonds :

1-12 2-5 3-18 4-6 18-19 19-20 20-21 20-22

ring bonds :

1-2 1-4 2-3 3-4 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16
16-17 21-23 21-27 23-24 24-25 25-26 26-27

exact/norm bonds :

1-2 1-4 1-12 2-3 2-5 3-4 20-22

exact bonds :

3-18 4-6 18-19 19-20 20-21

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17 21-23 21-27
23-24 24-25 25-26 26-27

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS
21:Atom 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom

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* * * * * Welcome to STN International * * * * *

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| NEWS | 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | | "Ask CAS" for self-help around the clock |
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| NEWS | 4 | Feb 24 | TEMA now available on STN |
| NEWS | 5 | Feb 26 | NTIS now allows simultaneous left and right truncation |
| NEWS | 6 | Feb 26 | PCTFULL now contains images |
| NEWS | 7 | Mar 04 | SDI PACKAGE for monthly delivery of multifile SDI results |
| NEWS | 8 | Mar 24 | PATDPAFULL now available on STN |
| NEWS | 9 | Mar 24 | Additional information for trade-named substances without structures available in REGISTRY |
| NEWS | 10 | Apr 11 | Display formats in DGENE enhanced |
| NEWS | 11 | Apr 14 | MEDLINE Reload |
| NEWS | 12 | Apr 17 | Polymer searching in REGISTRY enhanced |
| NEWS | 13 | AUG 22 | Indexing from 1927 to 1936 added to records in CA/CAPLUS |
| NEWS | 14 | Apr 21 | New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX |
| NEWS | 15 | Apr 28 | RDISCLOSURE now available on STN |
| NEWS | 16 | May 05 | Pharmacokinetic information and systematic chemical names added to PHAR |
| NEWS | 17 | May 15 | MEDLINE file segment of TOXCENTER reloaded |
| NEWS | 18 | May 15 | Supporter information for ENCOMPPAT and ENCOMPLIT updated |
| NEWS | 19 | May 19 | Simultaneous left and right truncation added to WSCA |
| NEWS | 20 | May 19 | RAPRA enhanced with new search field, simultaneous left and right truncation |
| NEWS | 21 | Jun 06 | Simultaneous left and right truncation added to CBNB |
| NEWS | 22 | Jun 06 | PASCAL enhanced with additional data |
| NEWS | 23 | Jun 20 | 2003 edition of the FSTA Thesaurus is now available |
| NEWS | 24 | Jun 25 | HSDB has been reloaded |
| NEWS | 25 | Jul 16 | Data from 1960-1976 added to RDISCLOSURE |
| NEWS | 26 | Jul 21 | Identification of STN records implemented |
| NEWS | 27 | Jul 21 | Polymer class term count added to REGISTRY |
| NEWS | 28 | Jul 22 | INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available |
| NEWS | 29 | AUG 05 | New pricing for EUROPATFULL and PCTFULL effective August 1, 2003 |
| NEWS | 30 | AUG 13 | Field Availability (/FA) field enhanced in BEILSTEIN |
| NEWS | 31 | AUG 15 | PATDPAFULL: one FREE connect hour, per account, in September 2003 |
| NEWS | 32 | AUG 15 | PCTGEN: one FREE connect hour, per account, in September 2003 |
| NEWS | 33 | AUG 15 | RDISCLOSURE: one FREE connect hour, per account, in September 2003 |
| NEWS | 34 | AUG 15 | TEMA: one FREE connect hour, per account, in September 2003 |
| NEWS | 35 | AUG 18 | Data available for download as a PDF in RDISCLOSURE |
| NEWS | 36 | AUG 18 | Simultaneous left and right truncation added to PASCAL |
| NEWS | 37 | AUG 18 | FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation |

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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FILE 'HOME' ENTERED AT 10:50:17 ON 07 SEP 2003

=> file reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

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STRUCTURE FILE UPDATES: 5 SEP 2003 HIGHEST RN 580198-40-9

DICTIONARY FILE UPDATES: 5 SEP 2003 HIGHEST RN 580198-40-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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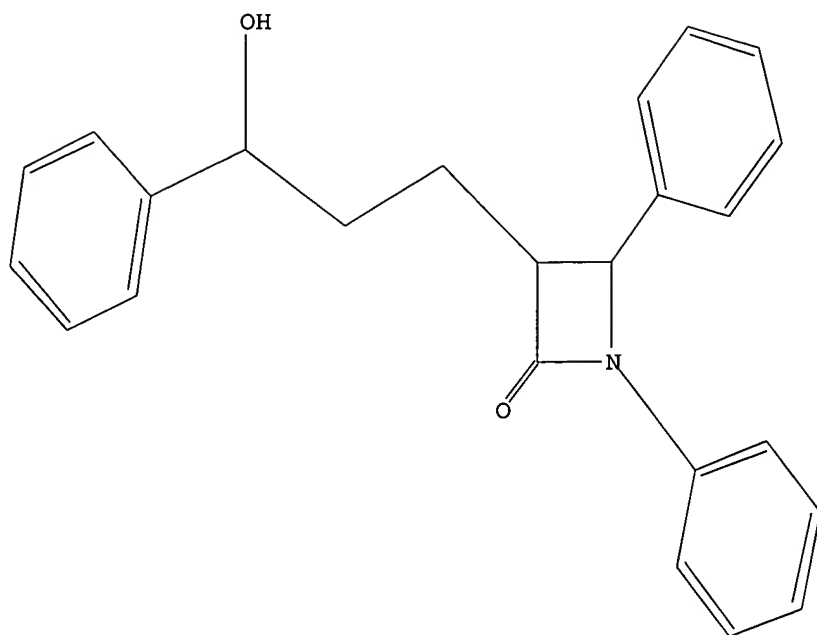
Uploading 10021502-1.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 10:50:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 23 TO ITERATE

100.0% PROCESSED 23 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 173 TO 747

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

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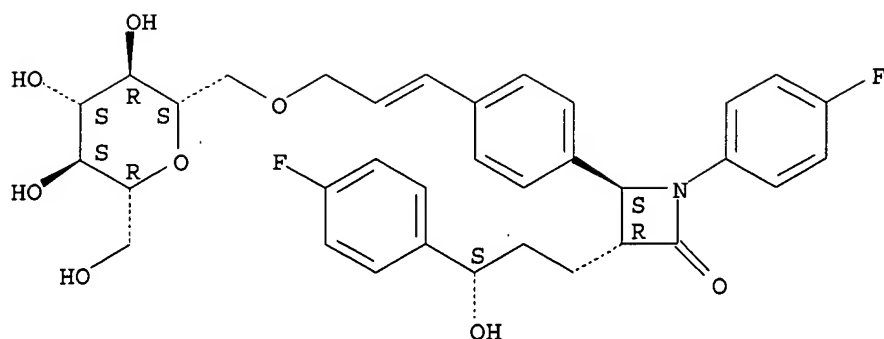
L2 2 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-O- [3- [4- [(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidiny]phenyl]-2-propenyl]- (9CI)

MF C34 H37 F2 N O8

Absolute stereochemistry.

Double bond geometry unknown.



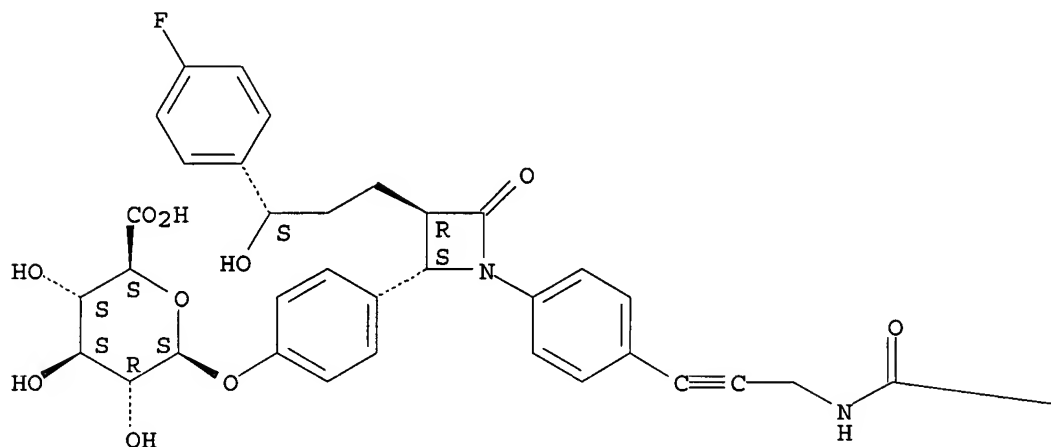
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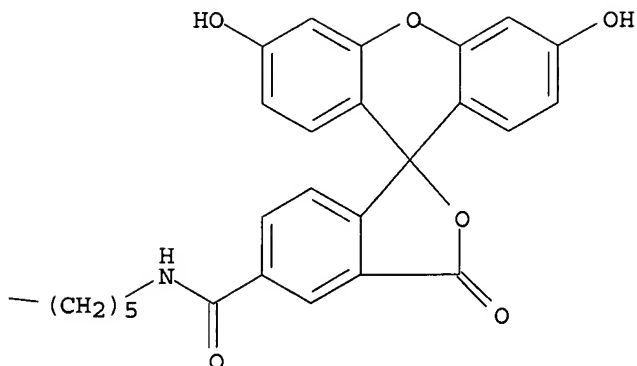
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
 IN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-[4-[3-[[6-[[[(3',6'-
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 yl)carbonyl]amino]-1-oxohexyl]amino]-1-propynyl]phenyl]-3-[(3S)-3-(4-
 fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (9CI)
 MF C60 H54 F N3 O16

Absolute stereochemistry.

PAGE 1-A





PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full

FULL SEARCH INITIATED 10:51:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - - - 488 -TO -ITERATE-

100.0% PROCESSED 488 ITERATIONS
SEARCH TIME: 00.00.01

218 ANSWERS

L3 218 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.95

149.16

FILE 'CAPLUS' ENTERED AT 10:52:15 ON 07 SEP 2003

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FILE COVERS 1907 - 7 Sep 2003 VOL 139 ISS 11

FILE LAST UPDATED: 5 Sep 2003 (20030905/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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      263931 COMPOSITIONS
      865452 COMPOSITION
          (COMPOSITION OR COMPOSITIONS)
      1242948 COMPN
      494645 COMPNS
      1519862 COMPN
          (COMPN OR COMPNS)
      1951035 COMPOSITION
          (COMPOSITION OR COMPN)
L4      16 L3 AND COMPOSITION
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      4862 ANTIDIABETICS
      14982 ANTIDIABETIC
          (ANTIDIABETIC OR ANTIDIABETICS)
      7950 HMG
      93 HMGS
      7970 HMG
          (HMG OR HMGS)
      3697 PPAR
      534 PPARS
      3762 PPAR
          (PPAR OR PPARS)
L5      9 L4 AND (ANTIDIABETIC OR HMG OR PPAR)
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=> dis l4 1-16 bib abs hitstr
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L4  ANSWER 1 OF 16  CAPLUS  COPYRIGHT 2003 ACS on STN
AN  2003:633275  CAPLUS
DN  139:169333
TI  Novel anticholesterol compositions and method for using same
IN  Dudley, Robert; Liao, Shutsung; Song, Ching
PA  USA
SO  U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Ser. No. 137,695.
    CODEN: USXXCO
DT  Patent
LA  English
FAN.CNT 8
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| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | US 2003153541 | A1 | 20030814 | US 2002-174934 | 20020619 |
| | WO 9922728 | A1 | 19990514 | WO 1998-US23041 | 19981030 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 6576660 | B1 | 20030610 | US 2000-530443 | 20000428 |
| | US 2002107233 | A1 | 20020808 | US 2002-72128 | 20020208 |
| | US 2002193357 | A1 | 20021219 | US 2002-137695 | 20020502 |
| PRAI | US 1997-63770P | P | 19971031 | | |
| | WO 1998-US23041 | W | 19981030 | | |
| | US 1999-131728P | P | 19990430 | | |
| | US 2000-530443 | A2 | 20000428 | | |
| | US 2000-560236 | A2 | 20000428 | | |
| | US 2001-267493P | P | 20010208 | | |
| | US 2001-288643P | P | 20010503 | | |

US 2001-348020P P 20011108
US 2002-72128 A2 20020208
US 2002-137695 A2 20020502

AB Disclosed are **compns.**, methods, combinations, and kits for treating a disorder related to elevated serum cholesterol concn., for example, atherosclerosis, elevated LDL plasma levels, low HDL plasma levels, hypertriglyceridemia, hyperlipidemia, hypertension, hypercholesterolemia, cholesterol gallstones, lipid storage diseases, obesity, and diabetes. The **compns.**, methods, combinations, and kits of the present invention are pharmaceutical **compns.** comprising at least two of an LXR receptor modulator, a therapeutically effective amt. of a catechin, and/or a therapeutically effective amt. of a lipid regulating agent, such as a HMG-CoA reductase inhibitor, a fibric acid deriv., niacin, a bile-acid sequestrant, an absorption inhibitor, probucol, raloxifene and its derivs., an azetidinone compd., and an unsatd. omega-3 fatty acid.

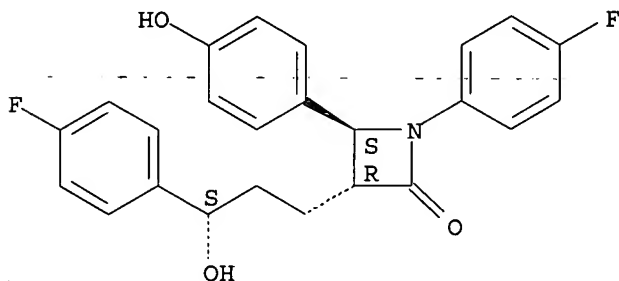
IT 163222-33-1, Ezetimibe

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticholesterol **compns.** contg. LXR modulators and lipid regulating agents)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:511859 CAPLUS

DN 139:90459

TI Use of an immediate-release powder in pharmaceutical and nutraceutical **compositions**

IN Besse, Jerome; Besse, Laurence

PA Fr.

SO U.S. Pat. Appl. Publ., 5 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----|--|------|----------|-----------------|----------|
| PI | US 2003124191 | A1 | 20030703 | US 2002-106923 | 20020325 |
| | FR 2834212 | A1 | 20030704 | FR 2001-16934 | 20011227 |
| | WO 2003055464 | A1 | 20030710 | WO 2002-FR4575 | 20021227 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, | | | | |

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

PRAI FR 2001-16934 A 20011227

AB The present invention relates to the use of a powder comprising at least one active substance, at least one surfactant, at least one wetting agent and at least one diluent, for prepg. a pharmaceutical or nutraceutical compn., this compn. allowing rapid and immediate release of the active substance. Granules contg. phloroglucinol 10, sorbitol 89, and propylene glycol 1% were prepd.

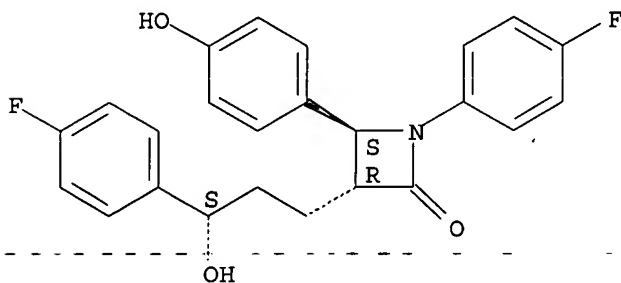
IT 163222-33-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of immediate-release powder in pharmaceutical and nutraceutical compns.)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:492702 CAPLUS

DN 139:47580

TI Combinations of hormone replacement therapy composition(s) and sterol absorption inhibitor(s) and treatments for vascular conditions in post-menopausal women

IN Strony, John T.

PA Schering Corporation, USA

SO U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 166,942.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 6

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-----------------|------|----------|-----------------|----------|
| PI | US 2003119796 | A1 | 20030626 | US 2002-247085 | 20020919 |
| | US 2003105028 | A1 | 20030605 | US 2002-166942 | 20020611 |
| PRAI | US 2001-324118P | P | 20010921 | | |
| | US 2002-166942 | A2 | 20020611 | | |
| | US 2000-256875P | P | 20001220 | | |
| | US 2001-23295 | A2 | 20011217 | | |

OS MARPAT 139:47580

AB The present invention provides compns., therapeutic combinations and methods including: (a) at least one hormone replacement therapy compn.; and (b) at least one sterol absorption inhibitor which can be useful for treating vascular conditions in post-menopausal women and lowering plasma levels of sterols or 5.alpha.-stanols.

IT 163222-32-0P 163222-33-1P 163380-15-2P

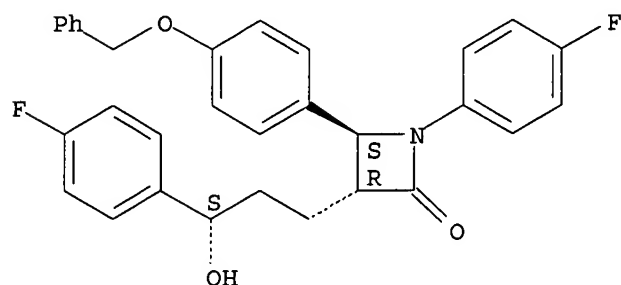
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of sterol absorption inhibitors for the combined use with hormone replacement therapy **compns.** and treatments for vascular conditions in post-menopausal women)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

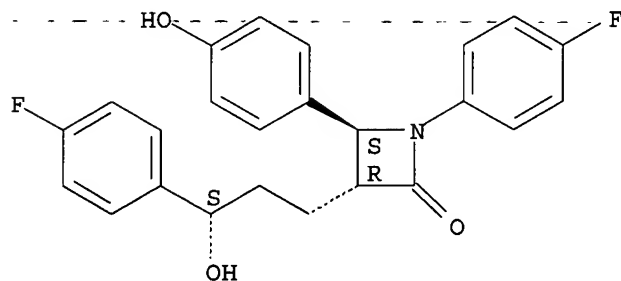
Absolute stereochemistry.



RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

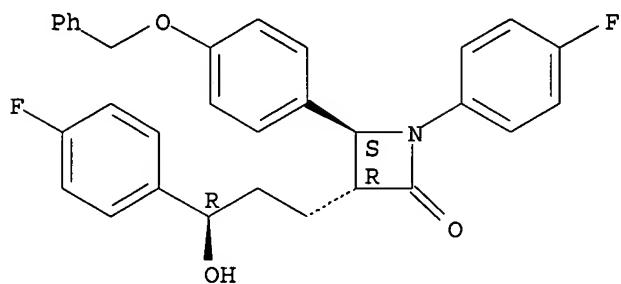
Absolute stereochemistry. Rotation (-).



RN 163380-15-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:492667 CAPLUS

DN 139:57965

TI Methods and therapeutic combinations for the treatment of obesity using

sterol absorption inhibitors

IN Davis, Harry R.; Ress, Rudyard J.; Strony, John T.; Veltri, Enrico P.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 166,942.
CODEN: USXXCO

DT Patent
LA English

FAN.CNT 6

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-----------------|------|----------|-----------------|----------|
| PI | US 2003119428 | A1 | 20030626 | US 2002-247397 | 20020919 |
| | US 2003105028 | A1 | 20030605 | US 2002-166942 | 20020611 |
| PRAI | US 2001-323840P | P | 20010921 | | |
| | US 2002-166942 | A2 | 20020611 | | |
| | US 2000-256875P | P | 20001220 | | |
| | US 2001-23295 | A2 | 20011217 | | |

OS MARPAT 139:57965

AB The present invention provides methods for the treatment of obesity using sterol or 5.alpha.-stanol absorption inhibitors and **compns.** and therapeutic combinations including sterol or 5.alpha.-stanol absorption inhibitors and at least one obesity control medication. Prepn. of azetidinone derivs. is described. A tablet contained active compd. 102, lactose monohydrate 553, microcryst. cellulose 204, povidone (K29-32) 45, croscarmellose sodium 86, sodium lauryl sulfate 27, and magnesium stearate 1 mg.

IT 163222-33-1P 163380-16-3P

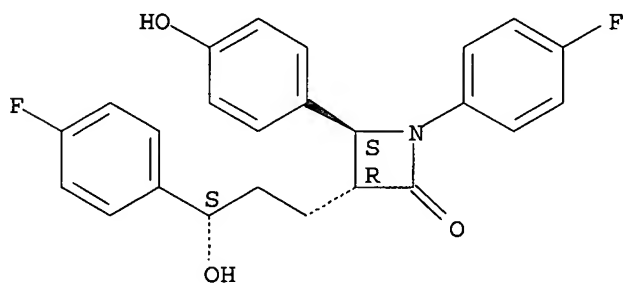
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(methods and therapeutic combinations for treatment of obesity using sterol absorption inhibitors)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

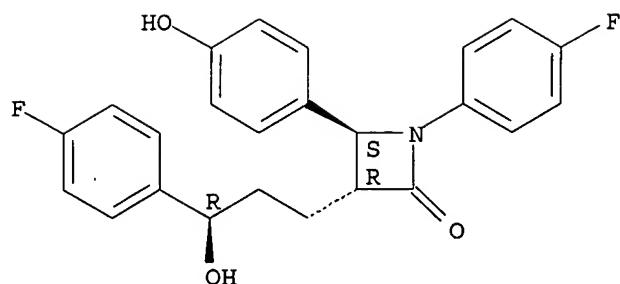
Absolute stereochemistry. Rotation (-).



RN 163380-16-3 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 163222-32-0P 163380-15-2P

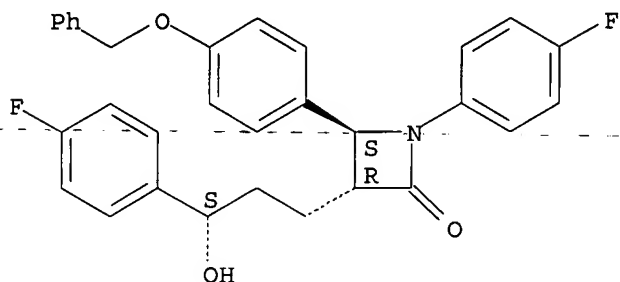
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(methods and therapeutic combinations for treatment of obesity using sterol absorption inhibitors)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

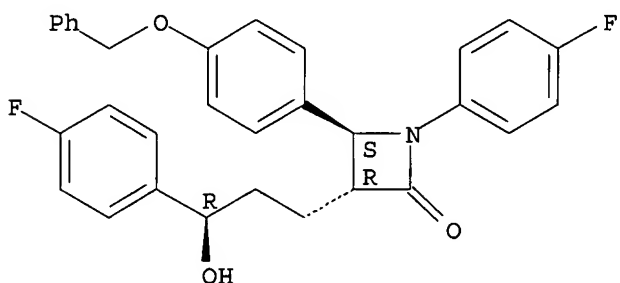
Absolute stereochemistry.



RN 163380-15-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:435299 CAPLUS

DN 139:22062

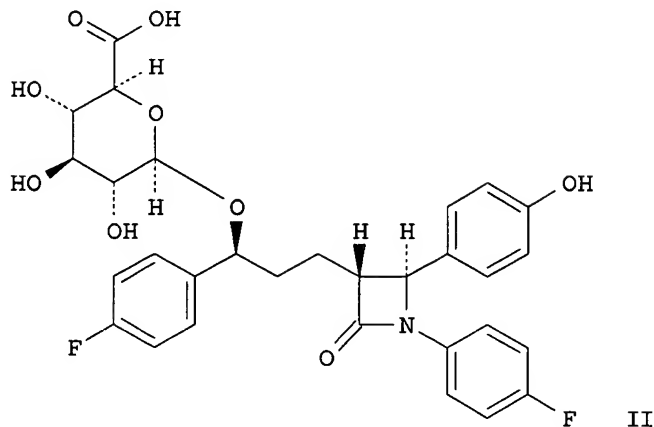
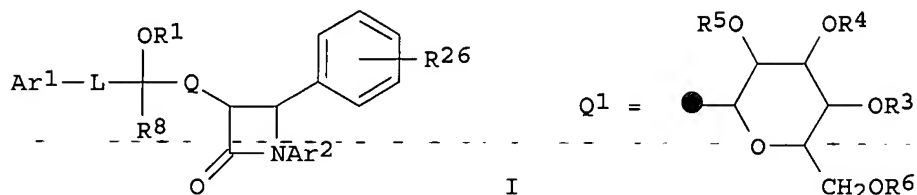
TI Preparation of substituted 2-azetidinones and use as hypocholesterolemic agents

IN Ghosal, Anima; Zbaida, Shmuel; Chowdhury, Swapan K.; Iannucci, Robert M.; Feng, Wenqing; Alton, Kevin B.; Patrick, James E.; Davis, Harry R.

PA Schering Corporation, USA

SO U.S. Pat. Appl. Publ., 27 pp., Cont.-in-part of U.S. Ser. No. 23,295.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 6

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------------|------|----------|-----------------|----------|
| PI | US 2003105028 | A1 | 20030605 | US 2002-166942 | 20020611 |
| | US 2002137690 | A1 | 20020926 | US 2001-23295 | 20011217 |
| | US 2003119757 | A1 | 20030626 | US 2002-247032 | 20020919 |
| | US 2003119796 | A1 | 20030626 | US 2002-247085 | 20020919 |
| | US 2003119428 | A1 | 20030626 | US 2002-247397 | 20020919 |
| PRAI | US 2000-256875P | P | 20001220 | | |
| | US 2001-23295 | A2 | 20011217 | | |
| | US 2001-323840P | P | 20010921 | | |
| | US 2001-323937P | P | 20010921 | | |
| | US 2001-324118P | P | 20010921 | | |
| | US 2002-166942 | A2 | 20020611 | | |
| OS | MARPAT 139:22062 | | | | |
| GI | | | | | |



AB The authors report the prepn. of substituted 2-azetidinone compds. I [R1 = H, SO3H, Q1, etc., R3, R4, R5 = H, C1-C6 alkyl, CO-aryl, etc., R6 = H, C1-C6 alkyl, COMe, etc., R8 = H, alkyl, R26 = H, OH, F, etc., Ar1 = aryl, heteroaryl, etc., Ar2 = aryl, heteroaryl, etc., L = covalent bond, CO, phenylene, etc., Q = (CH2)n, n = 2-6, spiro group, etc.], as well as methods of lowering cholesterol by administering said compds., pharmaceutical compns. contg. them, and the combination of a substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis. Thus, 14C-Sch 58235 was converted to the benzylic glucuronide II using UDPGA (uridine diphosphoglucuronosyltransferase) as catalyst.

IT 163222-33-1P, Sch 58235
 RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); THU

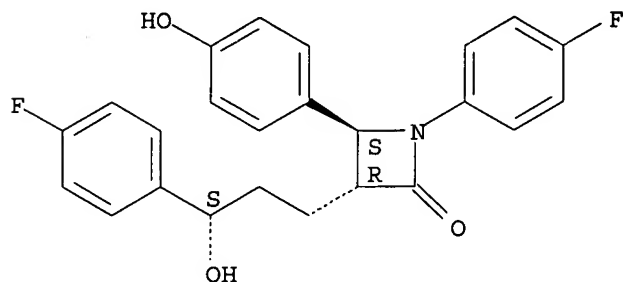
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azetidinone glucuronide derivs. and their use as hypocholesterolemic agents for treating diabetes, obesity, vascular conditions, and lowering plasma sterol concns.)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 190448-57-8, Sch 60663

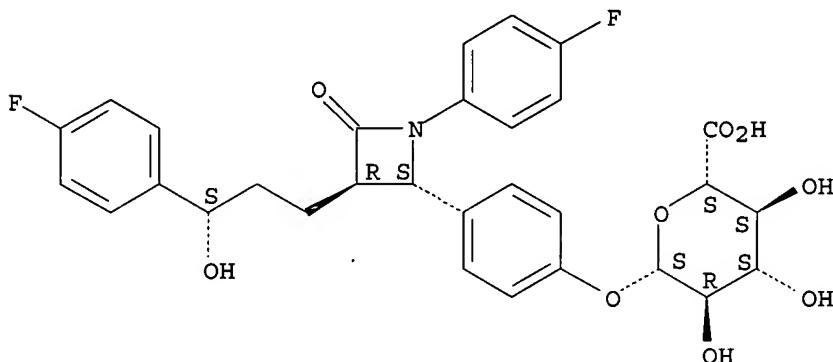
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(prepn. of azetidinone glucuronide derivs. and their use as hypocholesterolemic agents for treating diabetes, obesity, vascular conditions, and lowering plasma sterol concns.)

RN 190448-57-8 CAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 438576-93-3

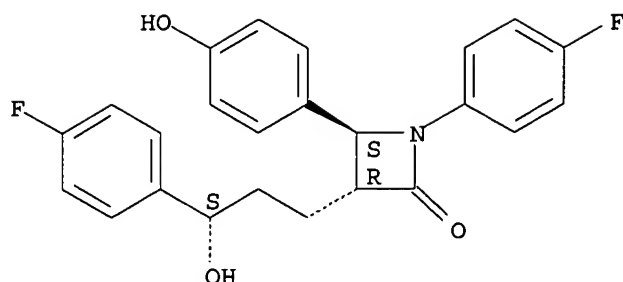
RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of azetidinone glucuronide derivs. and their use as hypocholesterolemic agents for treating diabetes, obesity, vascular conditions, and lowering plasma sterol concns.)

RN 438576-93-3 CAPLUS

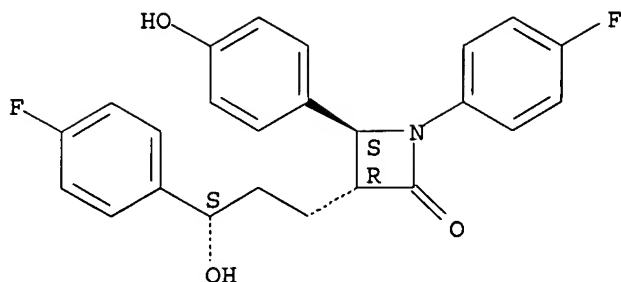
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, labeled with carbon-14, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:868252 CAPLUS
 DN 138:348551
 TI Inhibition of cholesterol absorption by SCH 58053 in the mouse is not mediated via changes in the expression of mRNA for ABCA1, ABCG5, or ABCG8 in the enterocyte
 AU Repa, Joyce J.; Dietschy, John M.; Turley, Stephen D.
 CS Department of Pharmacology, University of Texas Southwestern Medical Center, Dallas, TX, 75390, USA
 SO Journal of Lipid Research (2002), 43(11), 1864-1874
 CODEN: JLPRAW; ISSN: 0022-2275
 PB Lipid Research, Inc.
 DT Journal
 LA English
 AB Intestinal cholesterol absorption is a major determinant of plasma low d. lipoprotein-cholesterol (LDL-C) concns. Ezetimibe (SCH 58235) and its analogs SCH 48461 and SCH 58053 are novel potent inhibitors of cholesterol absorption whose mechanism of action is unknown. These studies investigated the effect of SCH 58053 on cholesterol metab. in female 129/Sv mice. In mice fed a low cholesterol rodent diet contg. SCH 58053, cholesterol absorption was reduced by 46% and fecal neutral sterol excretion was increased 67%, but biliary lipid **compn.** and bile acid synthesis, pool size, and pool **compn.** were unchanged. When the dietary cholesterol content was increased either 10- or 50-fold, those animals given SCH 58053 manifested lower hepatic and biliary cholesterol concns. than did their untreated controls. Cholesterol feeding increased the relative mRNA level for ATP-binding cassette transporter A1 (ABCA1), ABC transporter G5 (ABCG5), and ABC transporter G8 (ABCG8) in the jejunum, and of ABCG5 and ABCG8 in the liver, but the magnitude of this increase was generally less if the mice were given SCH 58053. We conclude that the inhibition of cholesterol absorption effected by this new class of agents is not mediated via changes in either the size or **compn.** of the intestinal bile acid pool, or the level of mRNA expression of proteins that facilitate cholesterol efflux from the enterocyte, but rather may involve disruption of the uptake of luminal sterol across the microvillus membrane.
 IT 163222-33-1, Ezetimibe
 RL: DMA (Drug mechanism of action); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (inhibition of cholesterol absorption by SCH 58053 in the mouse is not mediated via changes in the expression of mRNA for ABCA1, ABCG5, or ABCG8 in the enterocyte)
 RN 163222-33-1 CAPLUS
 CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:716094 CAPLUS
DN 137:226612
TI Antihypertensive agent and cholesterol absorption inhibitor combination
therapy
IN Nichtberger, Steven A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----|--|------|----------|-----------------|----------|
| PI | WO 2002072104 | A2 | 20020919 | WO 2002-US6570 | 20020305 |
| | WO 2002072104 | A3 | 20030724 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRAI US 2001-274288P P 20010308

AB The invention includes methods for treating atherosclerosis and preventing atherosclerotic disease events in a hypertensive patient comprising administering to the patient a therapeutically or prophylactically effective amt. of at least one antihypertensive compd. in combination with a therapeutically effective amt. of a cholesterol absorption inhibitor. The invention also includes a **compn.** comprising at least one antihypertensive compd. and a cholesterol absorption inhibitor in therapeutically effective amts., and a pharmaceutically acceptable carrier.

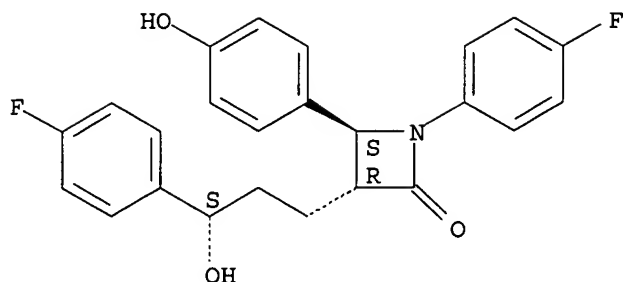
IT 163222-33-1, Ezetimibe

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antihypertensive agent and cholesterol absorption inhibitor
combination therapy)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:575765 CAPLUS
 DN 137:140435
 TI Benzopyrancarboxylic acid derivatives with PPAR agonist activity for the treatment of diabetes and lipid disorders, and their preparation, pharmaceutical **compositions**, and use
 IN Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.; Boueres, Julia K.; Desai, Ranjit C.
 PA USA
 SO U.S. Pat. Appl. Publ., 42 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

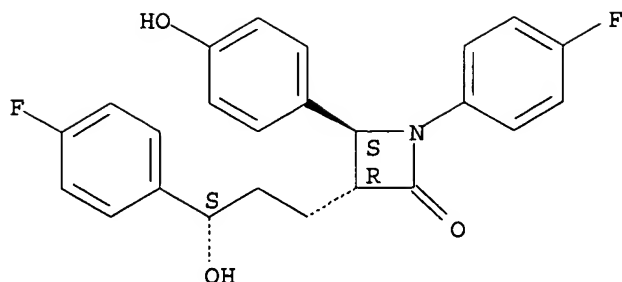
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|-----------------|----------|
| PI | US 2002103242 | A1 | 20020801 | US 2001-21667 | 20011029 |
| | WO 2002060434 | A2 | 20020808 | WO 2001-US49501 | 20011026 |
| | WO 2002060434 | A3 | 20030619 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| PRAI | US 2000-244698P | P | 20001031 | | |
| OS | MARPAT 137:140435 | | | | |
| GI | | | | | |



IT 163222-33-1, Ezetimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic **compns.** also contg.; prepn. of
benzopyrancarboxylic acid derivs. as PPAR agonists for treatment of
diabetes and lipid disorders)

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



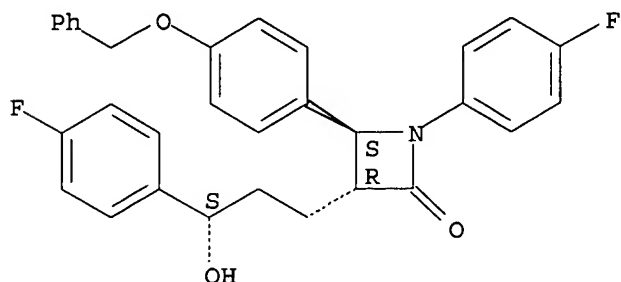
L4 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:574958 CAPLUS
 DN 137:135087
 TI Combinations of sterol absorption inhibitor(s) with blood modifier(s) for
 treating vascular conditions
 IN Kosoglou, Teddy; Ress, Rudyard Joseph; Strony, John; Veltri, Enrico P.
 PA Schering Corporation, USA
 SO PCT Int. Appl., 103 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 5

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2002058734 | A2 | 20020801 | WO 2002-US2013 | 20020125 |
| | WO 2002058734 | A3 | 20030703 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2002147184 | A1 | 20021010 | US 2002-56680 | 20020125 |
| | US 2002192203 | A1 | 20021219 | US 2002-136968 | 20020501 |
| PRAI | US 2001-264275P | P | 20010126 | | |
| | US 2001-264396P | P | 20010126 | | |
| | US 2001-264600P | P | 20010126 | | |
| | US 2001-324123P | P | 20010921 | | |
| | US 2001-323839P | P | 20010921 | | |
| | US 2002-57323 | A3 | 20020125 | | |

OS MARPAT 137:135087
 AB The present invention provides **comps.**, therapeutic combinations and methods including: (a) at least one sterol absorption inhibitor administered in an amt. of 0.1-1000 mg/day; and (b) at least one blood modifier administered in an amt. of 1-1000 mg/day, which can be useful for treating vascular conditions, e.g., diabetes and obesity, and lowering plasma levels of sterols in mammals. A sterol absorption inhibitor is an azetidinone compd. or a .beta.-lactam, while a blood modifier was selected from anticoagulants, antithrombotics, fibrinogen receptor antagonists, platelet aggregation inhibitors, hemorheol. agents, lipoprotein assocd. coagulation inhibitors, Factor VIIa inhibitors, and Factor Xa inhibitors. Prepn. of a sterol inhibitor ezetimibe is described.
 IT 163222-32-0P 163380-15-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (combinations of sterol absorption inhibitors with blood modifiers for treatment of vascular disorders)
 RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

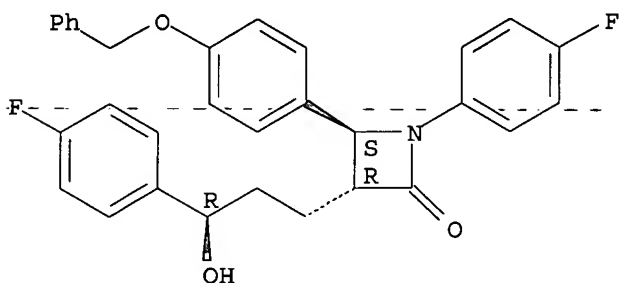
Absolute stereochemistry.



RN 163380-15-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



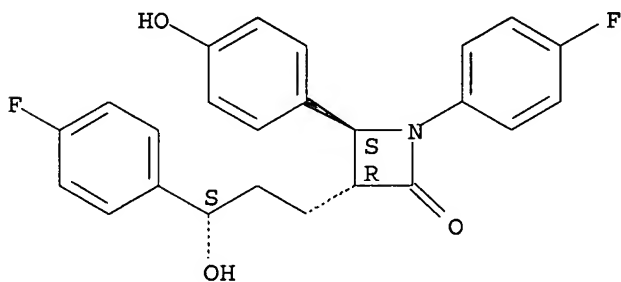
IT 163222-33-1P, Ezetimibe 163380-16-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combinations of sterol absorption inhibitors with blood modifiers for treatment of vascular disorders)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

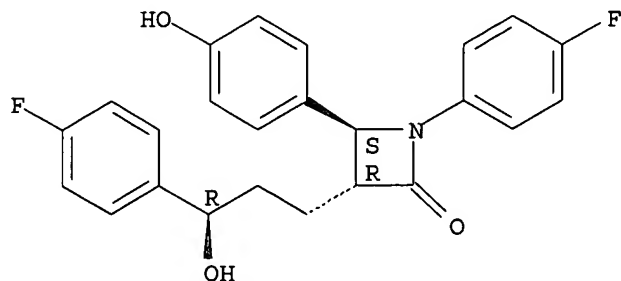
Absolute stereochemistry. Rotation (-).



RN 163380-16-3 CAPLUS

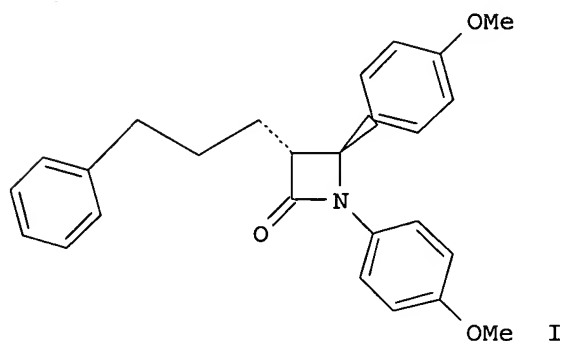
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:574957 CAPLUS
 DN 137:135086
 TI Combinations of bile acid sequestrant(s) and azetidinone sterol absorption inhibitor(s) and treatments for vascular indications
 IN Davis, Harry R.; Kosoglou, Teddy
 PA Schering Corporation, USA
 SO PCT Int. Appl., 138 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 5

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|-----------------|----------|
| PI | WO 2002058733 | A2 | 20020801 | WO 2002-US2010 | 20020125 |
| | WO 2002058733 | C2 | 20021121 | | |
| | WO 2002058733 | A3 | 20030626 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | US 2003053981 | A1 | 20030320 | US 2002-57534 | 20020125 |
| PRAI | US 2001-264600P | P | 20010126 | | |
| | US 2001-323842P | P | 20010921 | | |
| OS | MARPAT 137:135086 | | | | |
| GI | | | | | |



AB The present invention provides **compns.**, therapeutic combinations and methods including: (a) at least one bile acid sequestrant; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. The in vivo efficacy of I as a cholesterol absorption inhibitor was detd. in hamsters.

IT **163222-33-1P**

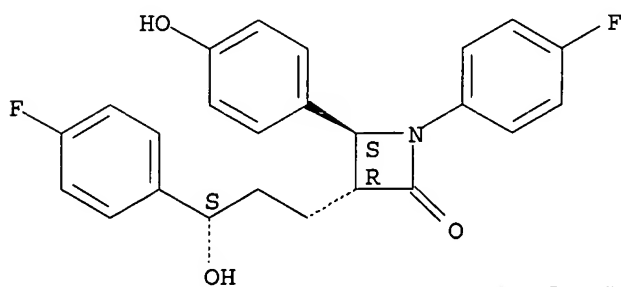
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of bile acid sequestrant and azetidinone sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **163222-32-0P**

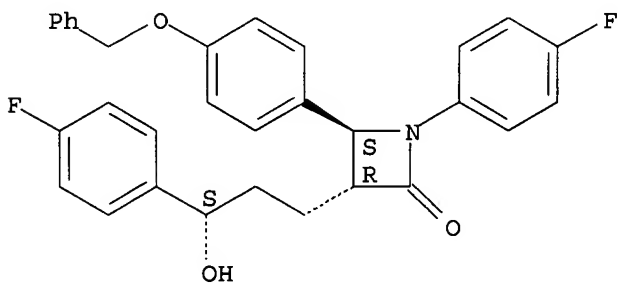
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of bile acid sequestrant and azetidinone sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:574956 CAPLUS

DN 137:129904

TI Combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases

IN Kosoglou, Teddy; Davis, Harry R.; Picard, Gilles Jean Bernard

PA Schering Corporation, USA

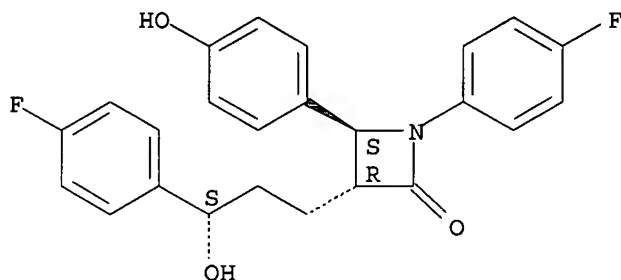
SO PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 5

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|--|----------|-----------------|----------|
| PI | WO 2002058732 | A2 | 20020801 | WO 2002-US2009 | 20020125 |
| | WO 2002058732 | A3 | 20030703 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | US 2002151536 | A1 | 20021017 | US 2002-57323 | 20020125 |
| | US 2002192203 | A1 | 20021219 | US 2002-136968 | 20020501 |
| PRAI | US 2001-264396P | P | 20010126 | | |
| | US 2001-323839P | P | 20010921 | | |
| | US 2002-57323 | A3 | 20020125 | | |
| OS | MARPAT 137:129904 | | | | |
| AB | The present invention provides compns. , therapeutic combinations and methods including: (a) at least one peroxisome proliferator-activated receptor (PPAR) activator; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. A tablet contained azetidinone 10, lactose monohydrate 55, microcryst. cellulose 20, povidone 4, croscarmellose sodium 8, sodium lauryl sulfate 2, and magnesium stearate 1 mg. The tablet can be coadministered with a tablets contg. a PPAR activator such as ezetimibe. Synthetic prepn. of ezetimibe from fluorohenylazetidinone derivs. is described. The coadministration of 10 mg of ezetimibe with 200 mg of fenofibrate was well tolerated and caused a significant redn. in LDL-C as compared to either drug alone or placebo. | | | | |
| IT | 163222-33-1, Ezetimibe. RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases) | | | | |
| RN | 163222-33-1 CAPLUS | | | | |
| CN | 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME) | | | | |

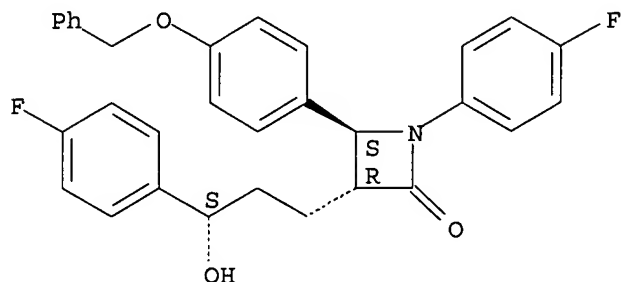
Absolute stereochemistry. Rotation (-).



IT 163222-32-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases)

RN 163222-32-0 CAPLUS
 CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

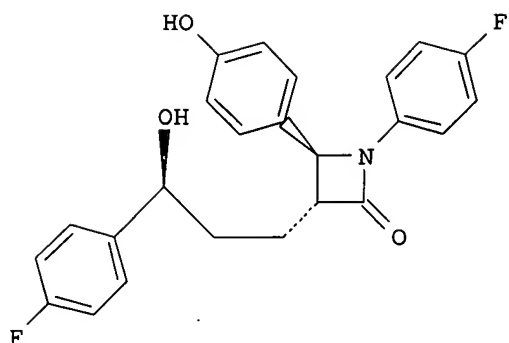


L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:574955 CAPLUS
 DN 137:129903
 TI Combinations of azetidinone sterol absorption inhibitor(s) with cardiovascular agent(s) for the treatment of vascular conditions
 IN Kosoglou, Teddy; Ress, Rudyard Joseph; Strony, John; Veltri, Enrico P.; Hauer, William
 PA Schering Corporation, USA
 SO PCT Int. Appl., 105 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 5

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2002058731 | A2 | 20020801 | WO 2002-US1196 | 20020125 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2003069221 | A1 | 20030410 | US 2002-57339 | 20020125 |
| | US 2002192203 | A1 | 20021219 | US 2002-136968 | 20020501 |
| PRAI | US 2001-264275P | P | 20010126 | | |
| | US 2001-264396P | P | 20010126 | | |
| | US 2001-264600P | P | 20010126 | | |
| | US 2001-323842P | P | 20010921 | | |
| | US 2001-323839P | P | 20010921 | | |
| | US 2002-57323 | A3 | 20020125 | | |
| OS | MARPAT 137:129903 | | | | |
| GI | | | | | |



I

AB The present invention provides compns., therapeutic combinations and methods including: (a) at least one sterol absorption inhibitor and (b) at least one cardiovascular agent different from the sterol absorption inhibitor, which can be useful for treating vascular conditions, obesity, diabetes and lowering plasma levels of sterols. Tablets were prepd. contg. cardiovascular agents which can be coadministered with formulations contg., e.g., I. The prepn. of I was given.

IT 163222-32-0P

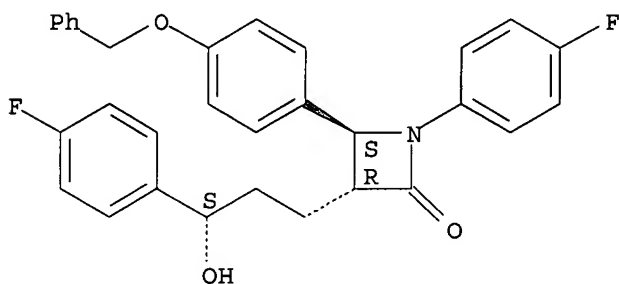
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of azetidinone sterol absorption inhibitor(s) with cardiovascular agent(s) for the treatment of vascular conditions)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 163222-33-1P

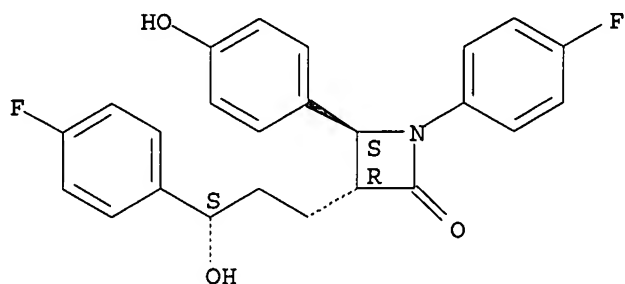
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of azetidinone sterol absorption inhibitor(s) with cardiovascular agent(s) for the treatment of vascular conditions)

RN 163222-33-1 CAPLUS

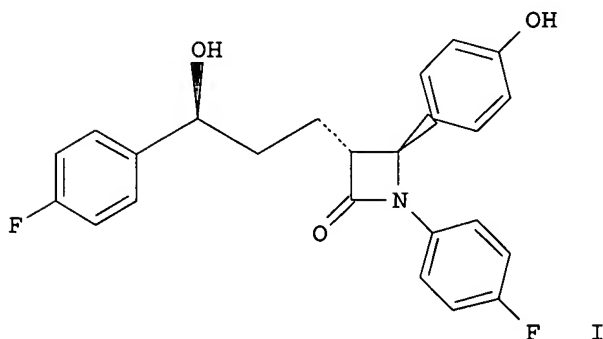
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:574926 CAPLUS
 DN 137:135094
 TI The use of substituted azetidinone compounds for the treatment of
 sitosterolemia
 IN Davis, Harry R.
 PA Schering Corporation, USA
 SO PCT Int. Appl., 105 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2002058696 | A2 | 20020801 | WO 2002-US1195 | 20020125 |
| | WO 2002058696 | A3 | 20030313 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2002169134 | A1 | 20021114 | US 2002-57629 | 20020125 |
| PRAI | US 2001-264645P | P | 20010126 | | |
| OS | MARPAT 137:135094 | | | | |
| GI | | | | | |



AB The invention discloses the use of sterol absorption-inhibiting compds., pharmaceutical **compns.** thereof, therapeutic combinations, and their use in combination with other lipid-lowering agents to treat or prevent sitosterolemia and/or to lower the concn. of sterol(s) other than cholesterol in plasma or tissue of a mammal. Methods of treating or

preventing vascular disease and coronary events also are provided. The methodol. and **compns.** of the invention use substituted azetidinone compds., e.g. I (prepn. described).

IT 163222-33-1P

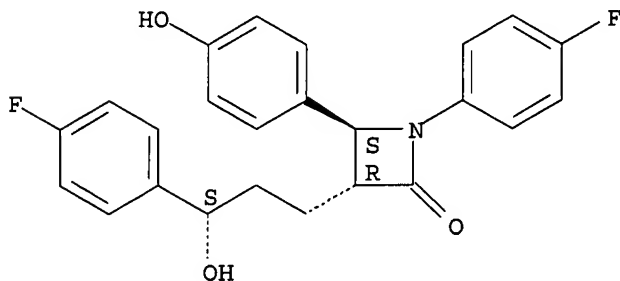
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azetidinone derivs. for treatment of sitosterolemia)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 444313-49-9 444313-50-2 444313-51-3

444313-53-5 444313-55-7 444313-57-9

444313-59-1 444313-60-4 444313-61-5

444313-62-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(azetidinone derivs. for treatment of sitosterolemia)

RN 444313-49-9 CAPLUS

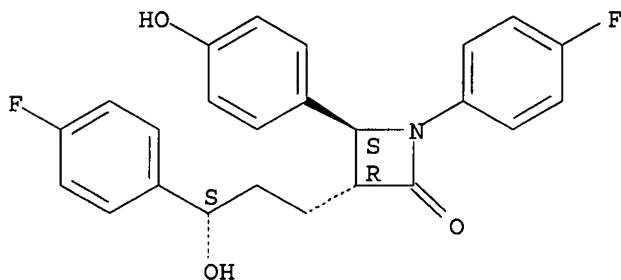
CN Butanoic acid, 2-methyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, (2S)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1

CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

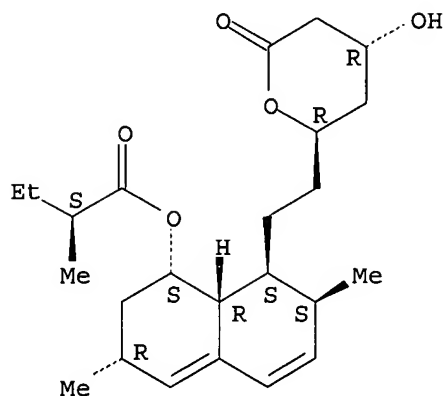


CM 2

CRN 75330-75-5

CMF C24 H36 O5

Absolute stereochemistry.



RN 444313-50-2 CAPLUS

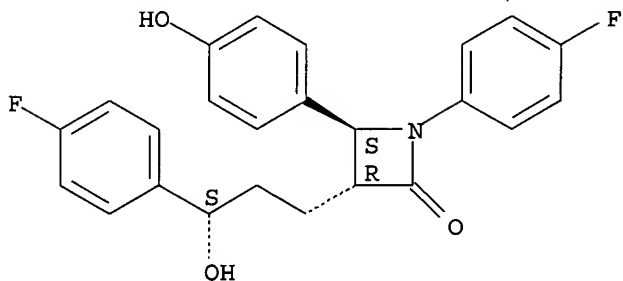
CM 1-Naphthaleneheptanoic acid, 1,2,6,7,8,8a-hexahydro-.beta.,.delta.,6-trihydroxy-2-methyl-8-[(2S)-2-methyl-1-oxobutoxy]-, (.beta.R,.delta.R,1S,2S,6S,8S,8aR)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1

CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

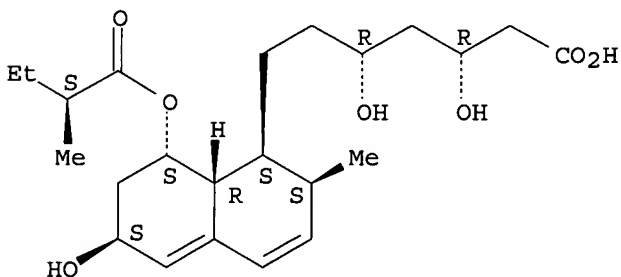


CM 2

CRN 81093-37-0

CMF C23 H36 O7

Absolute stereochemistry.

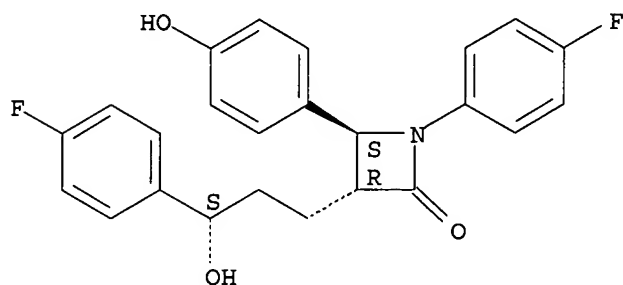


RN 444313-51-3 CAPLUS
 CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
 CMF C24 H21 F2 N O3

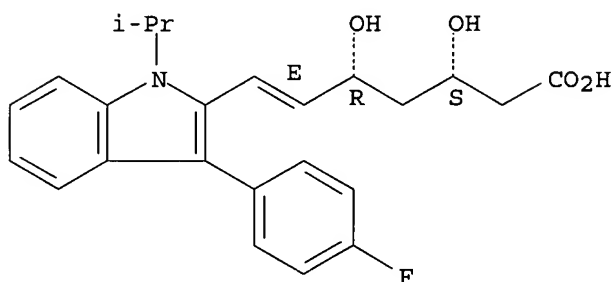
Absolute stereochemistry. Rotation (-).



CM 2

CRN 93957-54-1
 CMF C24 H26 F N O4

Relative stereochemistry.
 Double bond geometry as shown.

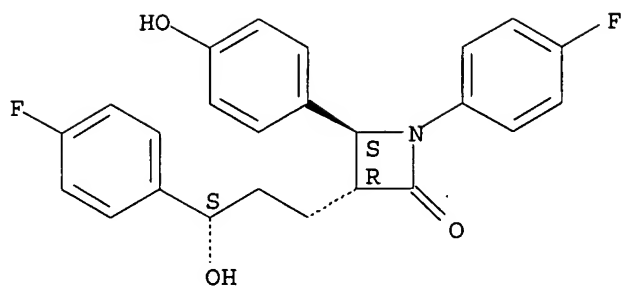


RN 444313-53-5 CAPLUS
 CN Butanoic acid, 2,2-dimethyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

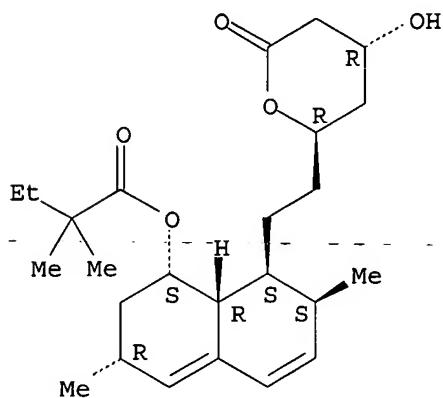


CM 2

CRN 79902-63-9

CMF C25 H38 O5

Absolute stereochemistry.



RN 444313-55-7 CAPLUS

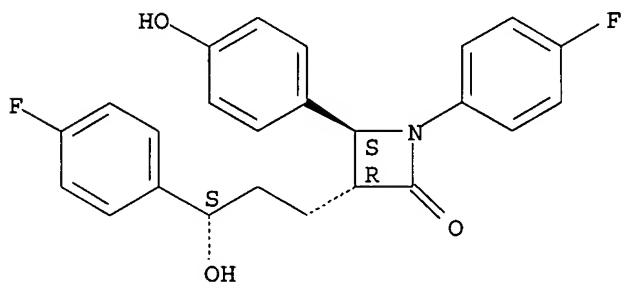
CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-.beta.,.delta.-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, (.beta.R,.delta.R)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1

CMF C24 H21 F2 N O3

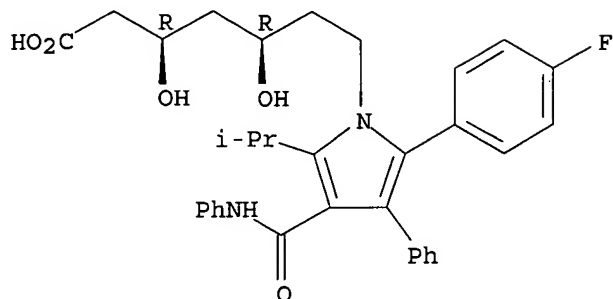
Absolute stereochemistry. Rotation (-).



CM 2

CRN 134523-00-5
CMF C33 H35 F N2 O5

Absolute stereochemistry.

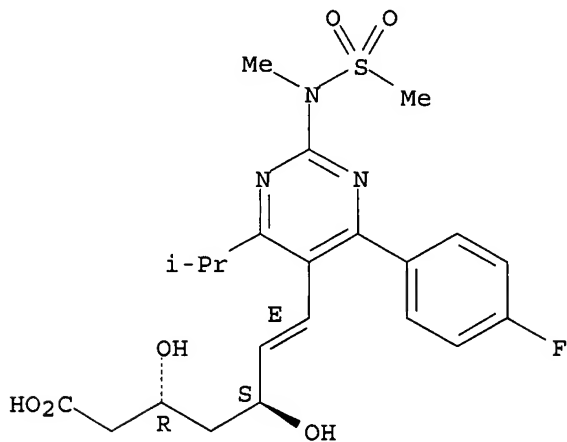


RN 444313-57-9 CAPLUS
CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-6-(1-methylethyl)-2-[methyl(methylsulfonyl)amino]-5-pyrimidinyl]-3,5-dihydroxy-, (3R,5S,6E)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 287714-41-4
CMF C22 H28 F N3 O6 S

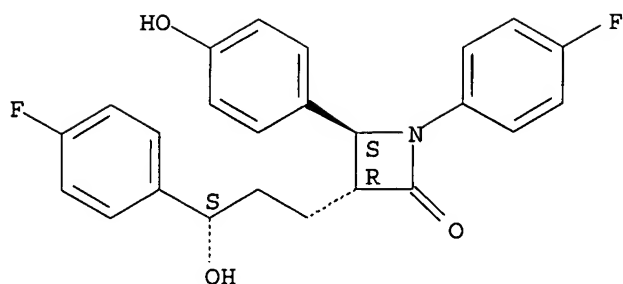
Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 163222-33-1
CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



RN 444313-59-1 CAPLUS

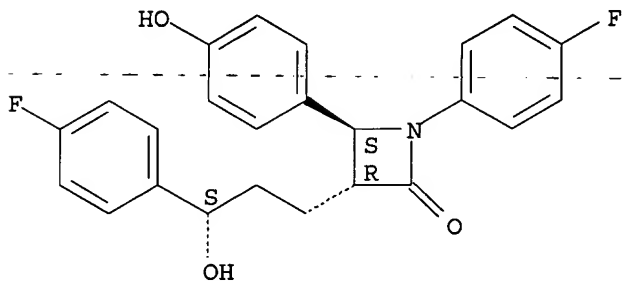
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)-, mixt. with (4R,6S)-6-[(1E)-2-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]ethenyl]tetrahydro-4-hydroxy-2H-pyran-2-one (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1

CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

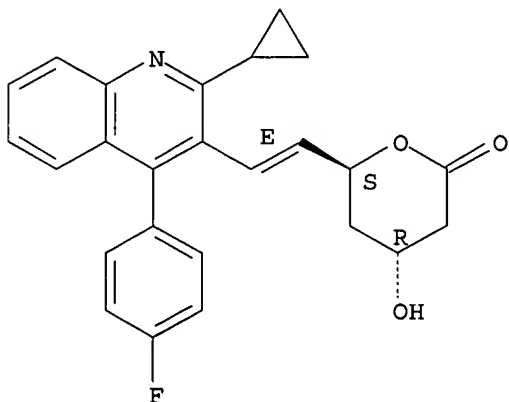


CM 2

CRN 141750-63-2

CMF C25 H22 F N O3

Absolute stereochemistry.
Double bond geometry as shown.

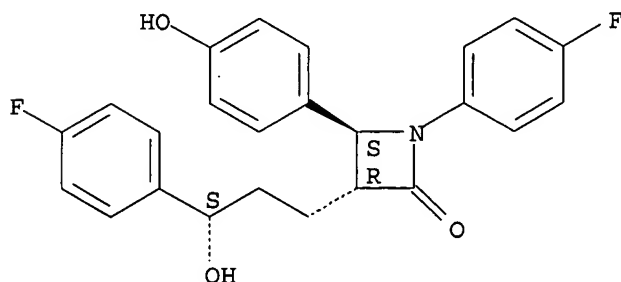


RN 444313-60-4 CAPLUS
CN Cholestyramine, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI)
(CA INDEX NAME)

CM 1

CRN 163222-33-1
CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 11041-12-6
CMF Unspecified
CCI PMS, MAN

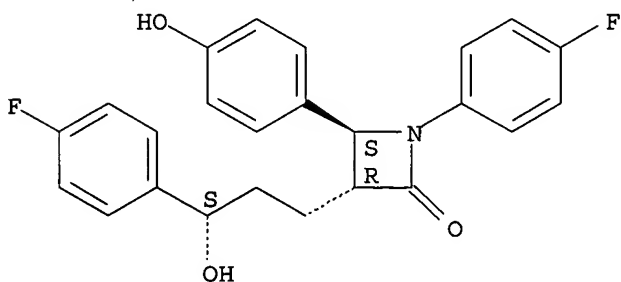
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 444313-61-5 CAPLUS
CN 1-Hexanaminium, N,N,N-trimethyl-6-(2-propenylamino)-, chloride, polymer with (chloromethyl)oxirane, 2-propen-1-amine and N-2-propenyl-1-decanamine, hydrochloride, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI)
(CA INDEX NAME)

CM 1

CRN 163222-33-1
CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 182815-44-7
CMF (C13 H27 N . C12 H27 N2 . C3 H7 N . C3 H5 Cl O . Cl)x . x Cl H

CM 3

CRN 182815-43-6

CMF (C13 H27 N . C12 H27 N2 . C3 H7 N . C3 H5 Cl O . Cl)x

CCI PMS

CM 4

CRN 182815-42-5

CMF C12 H27 N2 . Cl

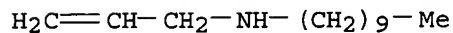


● Cl⁻

CM 5

CRN 92162-19-1

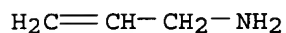
CMF C13 H27 N



CM 6

CRN 107-11-9

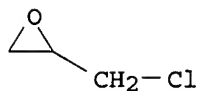
CMF C3 H7 N



CM 7

CRN 106-89-8

CMF C3 H5 Cl O



RN 444313-62-6 CAPLUS

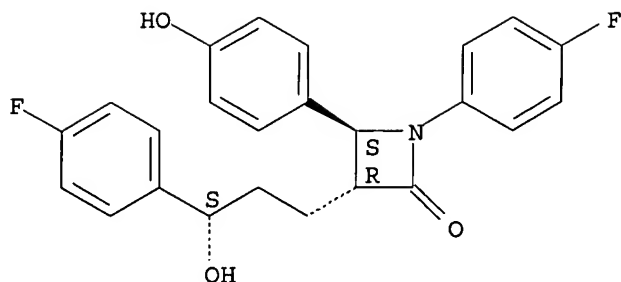
CN Colestipol, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI)
(CA INDEX NAME)

CM 1

CRN 163222-33-1

CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 50925-79-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

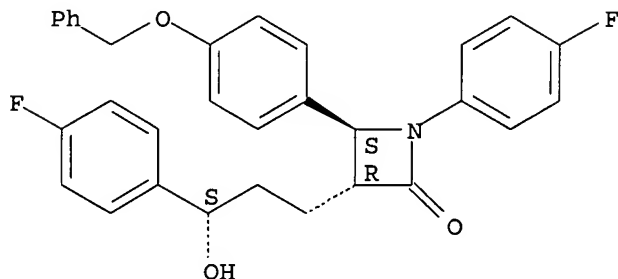
IT 163222-32-0P 163380-15-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and reaction; azetidinone derivs. for treatment of
sitosterolemia)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-
hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX
NAME)

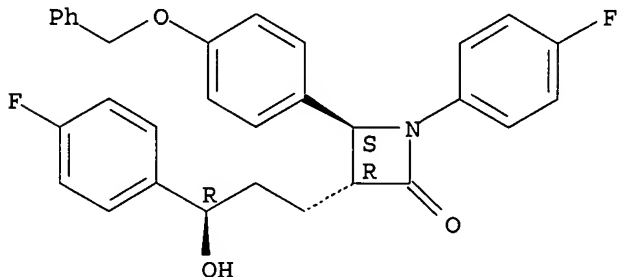
Absolute stereochemistry.



RN 163380-15-2 CAPLUS

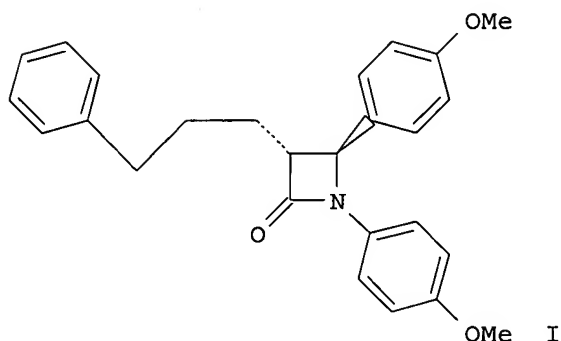
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-
hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:574915 CAPLUS
 DN 137:119671
 TI Combinations of nicotinic acid and derivatives thereof and azetidine
 sterol absorption inhibitor(s) and treatments for vascular indications
 IN Davis, Harry R.; Kosoglou, Teddy
 PA Schering Corporation, USA
 SO PCT Int. Appl., 131 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 5

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|-----------------|----------|
| PI | WO 2002058685 | A2 | 20020801 | WO 2002-US2004 | 20020125 |
| | WO 2002058685 | A3 | 20030501 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | US 2002183305 | A1 | 20021205 | US 2002-57646 | 20020125 |
| PRAI | US 2001-264275P | P | 20010126 | | |
| | US 2001-323842P | P | 20010921 | | |
| OS | MARPAT 137:119671 | | | | |
| GI | | | | | |



AB The present invention provides **comps.**, therapeutic combinations and methods including: (a) at least one of nicotinic acid or derivs. thereof; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. The in vivo efficacy of I as a cholesterol absorption inhibitor was detd. in hamsters.

IT 163222-33-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

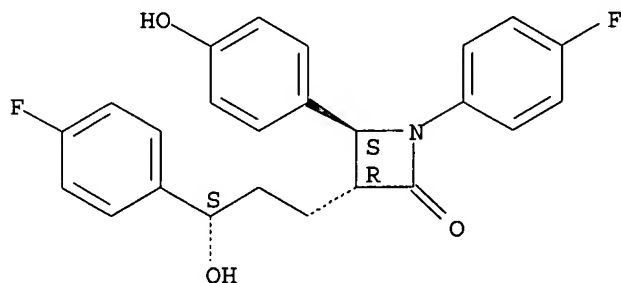
(combinations of nicotinic acid and derivs. and azetidine sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-

hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 163222-32-0P

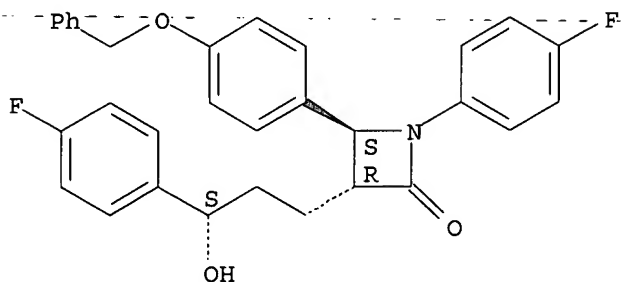
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of nicotinic acid and derivs. and azetidine sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:487576 CAPLUS

DN 137:41758

TI Sugar-substituted 2-azetidinones useful as hypocholesterolemic agents and in the treatment of atherosclerosis

IN Ghosal, Anima; Zbaida, Shmuel; Chowdhury, Swapan K.; Iannucci, Robert M.; Feng, Wenqing; Alton, Kevin B.; Patrick, James E.; Davis, Harry R.

PA Schering Corporation, USA

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 6

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|--|----------|-----------------|----------|
| PI | WO 2002050090 | A1 | 20020627 | WO 2001-US49127 | 20011217 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, | | | |

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002031049 A5 20020701
PRAI US 2000-256875P P 20001220
WO 2001-US49127 W 20011217

AU 2002-31049 20011217

OS MARPAT 137:41758

AB Hypocholesterolemic sugar-substituted 2-azetidinone compds. are disclosed, as are a method of lowering cholesterol by administering these compds., pharmaceutical compns. contg. them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis.

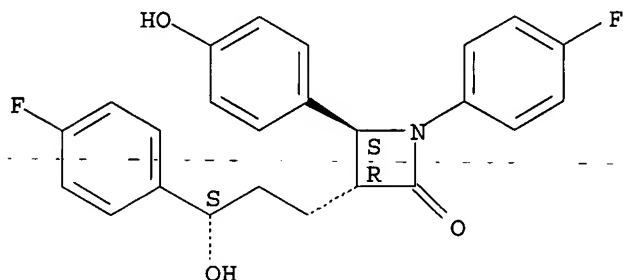
IT 438576-93-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; sugar-substituted 2-azetidinones useful as hypocholesterolemic and in atherosclerosis treatment)

RN 438576-93-3 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, labeled with carbon-14, (3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



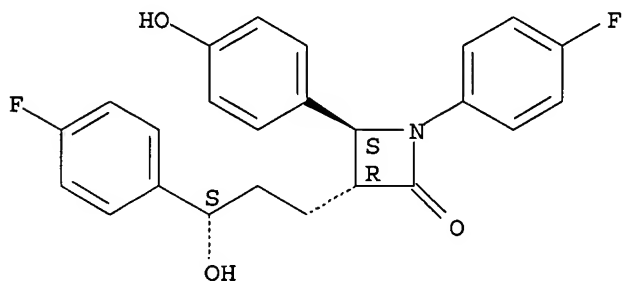
IT 163222-33-1D, glucuronides

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(sugar-substituted 2-azetidinones useful as hypocholesterolemic and in atherosclerosis treatment)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 163222-33-1 190448-57-8

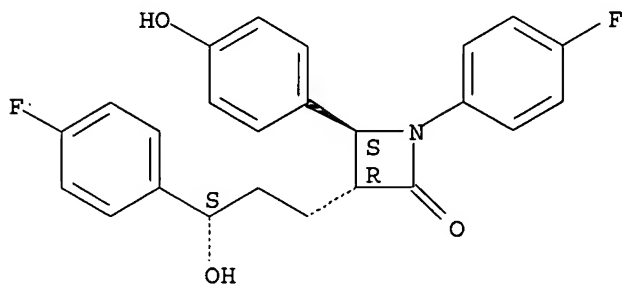
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sugar-substituted 2-azetidinones useful as hypocholesterolemic and in atherosclerosis treatment)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-

hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

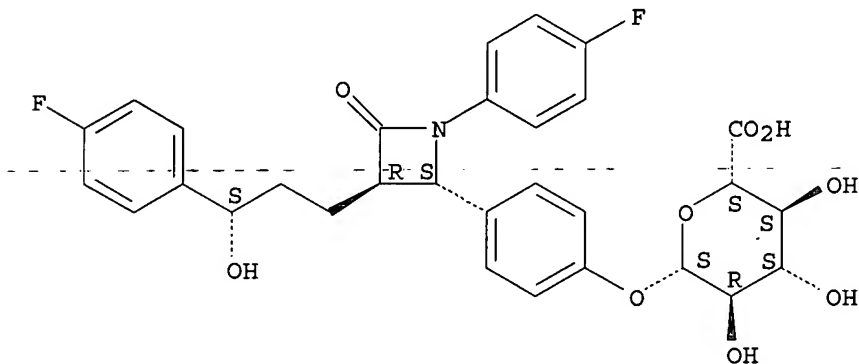
Absolute stereochemistry. Rotation (-).



RN 190448-57-8 CAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:352625 CAPLUS

DN 129:41376

TI Preparation of sugar-substituted 2-azetidinones useful as
hypocholesterolemic agents

IN Yumibe, Nathan P.; Alton, Kevin B.; Van Heek, Margaret; Davis, Harry R.;
Vaccaro, Wayne D.

PA Schering Corp., USA

SO U.S., 18 pp.

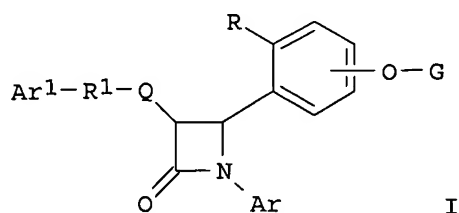
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------------|------|----------|-----------------|----------|
| PI | US 5756470 | A | 19980526 | US 1996-741179 | 19961029 |
| | CN 1205707 | A | 19990120 | CN 1996-199226 | 19961029 |
| | CN 1103780 | B | 20030326 | | |
| PRAI | US 1996-741179 | A | 19961029 | | |
| OS | MARPAT 129:41376 | | | | |
| GI | | | | | |



AB Hypocholesterolemic sugar-substituted 2-azetidinones I (R = H, OH, sugar; R1 = alkylene, cycloalkylene, phenylene, alkenylene; G = sugar residue; Q = bond, spiro group; Ar, Ar1 = aryl), are disclosed, as well as a method of lowering cholesterol by administering said compds., pharmaceutical compns. contg. them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis. Thus, 1-O-[4-[trans-(3R,4S)-1-(4-fluorophenyl)-2-oxo-3-[3-[(S)-hydroxy-4-fluorophenylpropyl]]-4-azetidiny]]phenyl]-.beta.-D-glucuronic acid was prepd. as anticholesteremic agent 58 % redn. in plasma cholesterol with 3 mg/kg dose in hamsters.

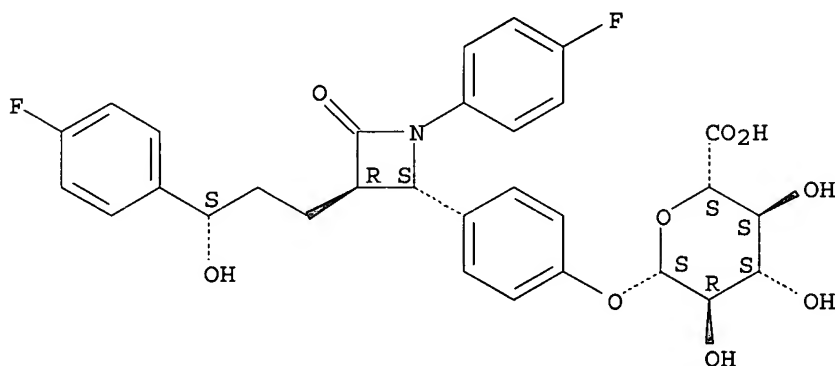
IT 190448-57-8P 190448-58-9P 190448-60-3P
190448-63-6P 190448-79-4P 208259-77-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sugar substituted azetidinones useful as hypocholesterolemic agents)

RN 190448-57-8 CAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidiny]]phenyl (9CI) (CA INDEX NAME)

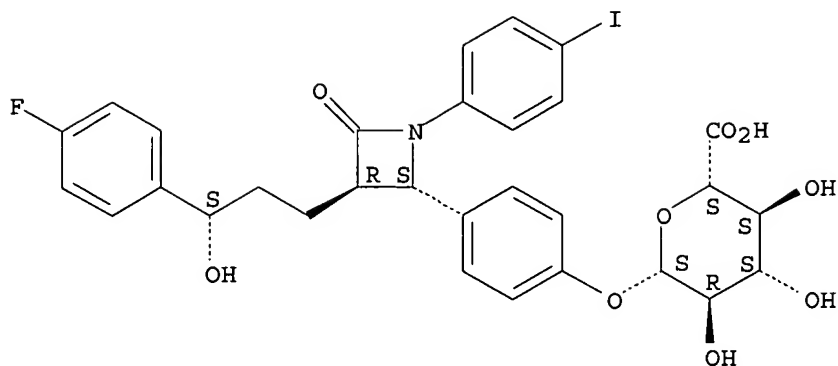
Absolute stereochemistry.



RN 190448-58-9 CAPLUS

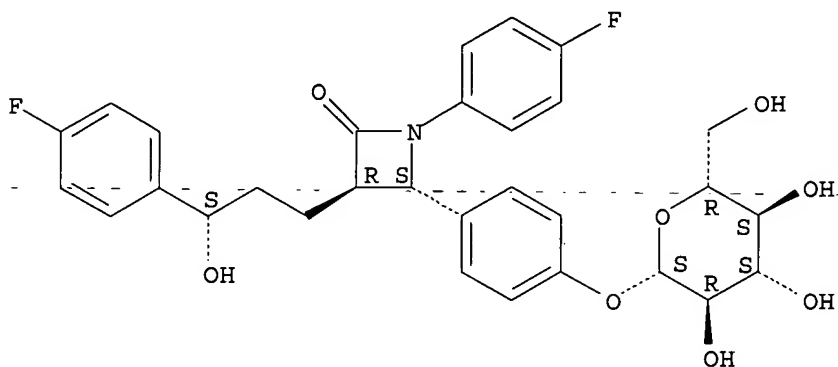
CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-1-(4-iodophenyl)-4-oxo-2-azetidiny]]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



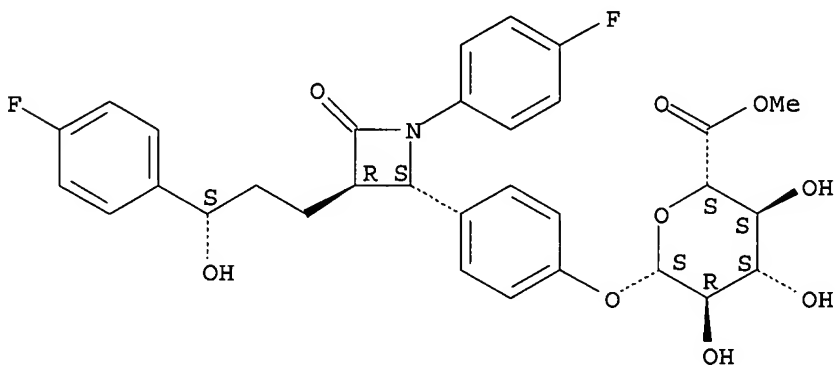
RN 190448-60-3 CAPLUS
 CN 2-Azetidinone, 1-(4-iodophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(.beta.-D-glucopyranosyloxy)phenyl]-, (3R,4S)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



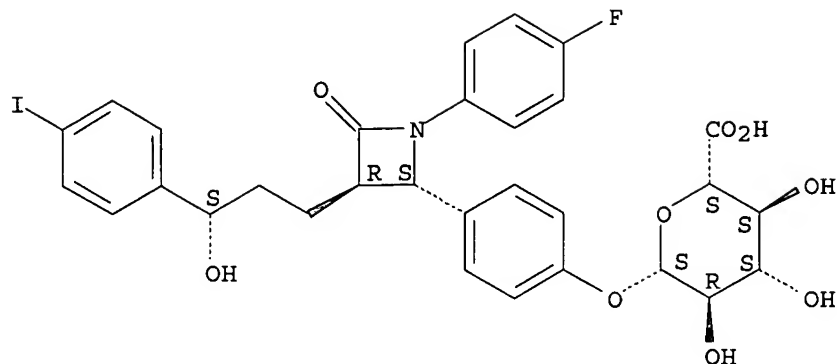
RN 190448-63-6 CAPLUS
 CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 190448-79-4 CAPLUS
 CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

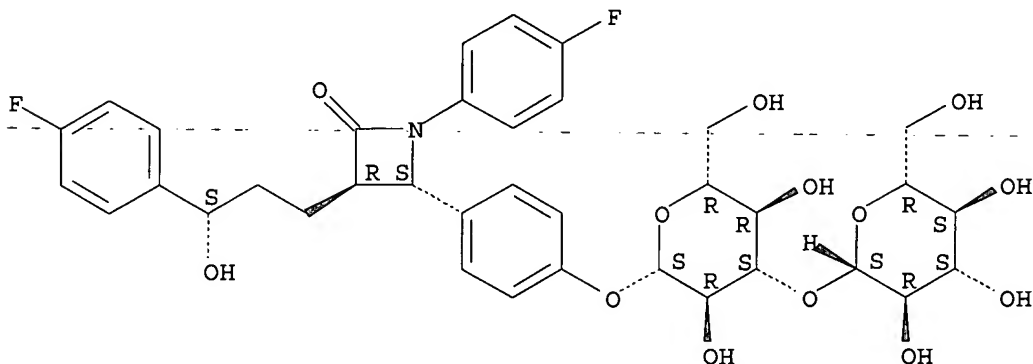
Absolute stereochemistry.



RN 208259-77-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(3-O-.beta.-D-glucopyranosyl-.beta.-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



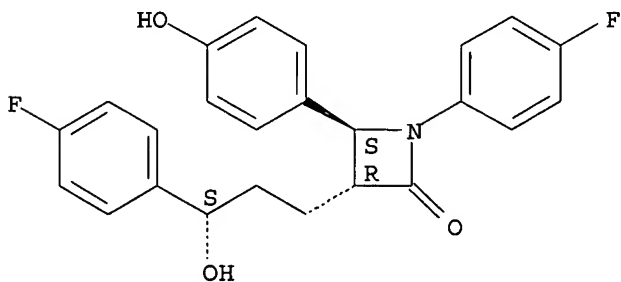
IT 163222-33-1P 190448-83-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sugar substituted azetidinones useful as hypocholesterolemic agents)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

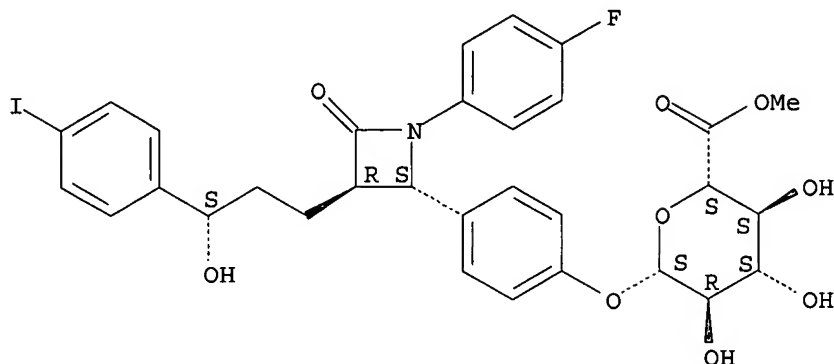


RN 190448-83-0 CAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-

3-hydroxy-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl, methyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> dis hist

(FILE 'HOME' ENTERED AT 10:50:17 ON 07 SEP 2003)

FILE 'REGISTRY' ENTERED AT 10:50:27 ON 07 SEP 2003

| | | | |
|----|-----|-----------|----------|
| L1 | | STRUCTURE | UPLOADED |
| L2 | 2 | S L1 SSS | SAM |
| L3 | 218 | S L1 SSS | FULL |

FILE 'CAPLUS' ENTERED AT 10:52:15 ON 07 SEP 2003

| | | | | | |
|----|----|---|----|-----|-------------------------------|
| L4 | 16 | S | L3 | AND | COMPOSITION |
| L5 | 9 | S | L4 | AND | (ANTIDIABETIC OR HMG OR PPAR) |

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=> dis 15 1-9 bib abs hitstr
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L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:633275 CAPLUS
DN 139:169333
TI Novel anticholesterol **compositions** and method for using same
IN Dudley, Robert; Liao, Shutsung; Song, Ching
PA USA
SO U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Ser. No. 137,695.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 8

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|----|---------------|--|----------|-----------------|----------|--|
| | ----- | --- | ----- | ----- | ----- | |
| PI | US 2003153541 | A1 | 20030814 | US 2002-174934 | 20020619 | |
| | WO 9922728 | A1 | 19990514 | WO 1998-US23041 | 19981030 | |
| | W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 6576660 | B1 | 20030610 | US 2000-530443 | 20000428 | |
| | US 2002107233 | A1 | 20020808 | US 2002-72128 | 20020208 | |

| | | | | | |
|------|-----------------|----|----------|----------------|----------|
| | US 2002193357 | A1 | 20021219 | US 2002-137695 | 20020502 |
| PRAI | US 1997-63770P | P | 19971031 | | |
| | WO 1998-US23041 | W | 19981030 | | |
| | US 1999-131728P | P | 19990430 | | |
| | US 2000-530443 | A2 | 20000428 | | |
| | US 2000-560236 | A2 | 20000428 | | |
| | US 2001-267493P | P | 20010208 | | |
| | US 2001-288643P | P | 20010503 | | |
| | US 2001-348020P | P | 20011108 | | |
| | US 2002-72128 | A2 | 20020208 | | |
| | US 2002-137695 | A2 | 20020502 | | |

AB Disclosed are **compsns.**, methods, combinations, and kits for treating a disorder related to elevated serum cholesterol concn., for example, atherosclerosis, elevated LDL plasma levels, low HDL plasma levels, hypertriglyceridemia, hyperlipidemia, hypertension, hypercholesterolemia, cholesterol gallstones, lipid storage diseases, obesity, and diabetes. The **compsns.**, methods, combinations, and kits of the present invention are pharmaceutical **compsns.** comprising at least two of an LXR receptor modulator, a therapeutically effective amt. of a catechin, and/or a therapeutically effective amt. of a lipid regulating agent, such as a **HMG**-CoA reductase inhibitor, a fibric acid deriv., niacin, a bile-acid sequestrant, an absorption inhibitor, probucol, raloxifene and its derivs., an azetidinone compd., and an unsatd. omega-3 fatty acid.

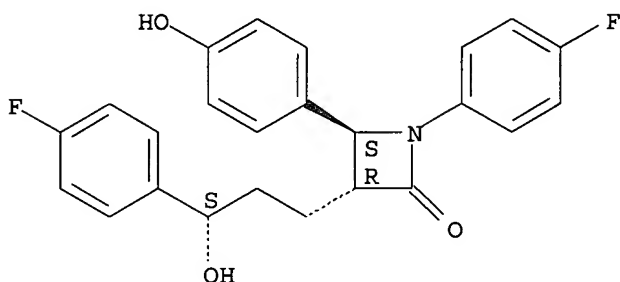
IT 163222-33-1, Ezetimibe

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticholesterol **compsns.** contg. LXR modulators and lipid regulating agents)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:492702 CAPLUS

DN 139:47580

TI Combinations of hormone replacement therapy **composition(s)** and sterol absorption inhibitor(s) and treatments for vascular conditions in post-menopausal women

IN Strony, John T.

PA Schering Corporation, USA

SO U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 166,942.

CODEN: USXXCO

DT Patent

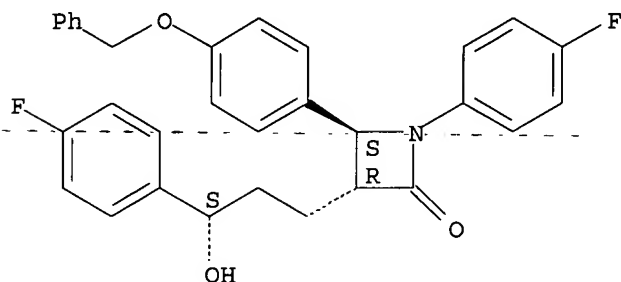
LA English

FAN.CNT 6

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|----------|-----------------|----------|
| PI | US 2003119796 | A1 | 20030626 | US 2002-247085 | 20020919 |
| | US 2003105028 | A1 | 20030605 | US 2002-166942 | 20020611 |

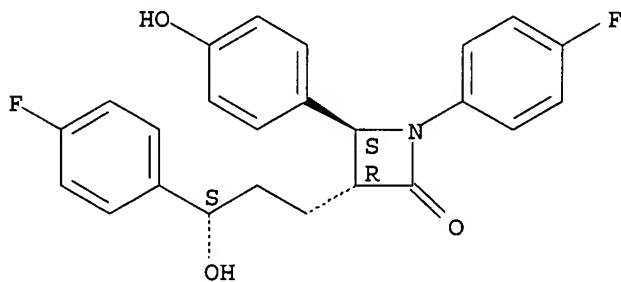
PRAI US 2001-324118P P 20010921
 US 2002-166942 A2 20020611
 US 2000-256875P P 20001220
 US 2001-23295 A2 20011217
 OS MARPAT 139:47580
 AB The present invention provides **compns.**, therapeutic combinations and methods including: (a) at least one hormone replacement therapy **compn.**; and (b) at least one sterol absorption inhibitor which can be useful for treating vascular conditions in post-menopausal women and lowering plasma levels of sterols or 5.alpha.-stanols.
 IT 163222-32-0P 163222-33-1P 163380-15-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (synthesis of sterol absorption inhibitors for the combined use with hormone replacement therapy **compns.** and treatments for vascular conditions in post-menopausal women)
 RN 163222-32-0 CAPLUS
 CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



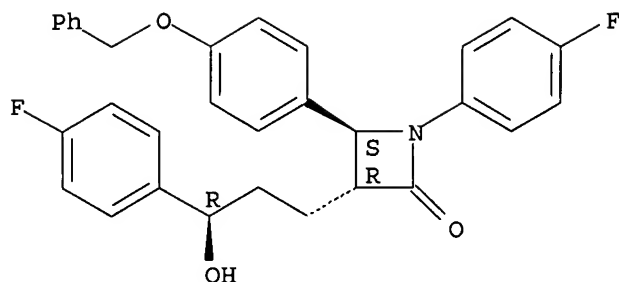
RN 163222-33-1 CAPLUS
 CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



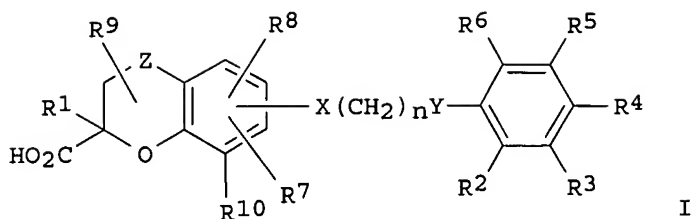
RN 163380-15-2 CAPLUS
 CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

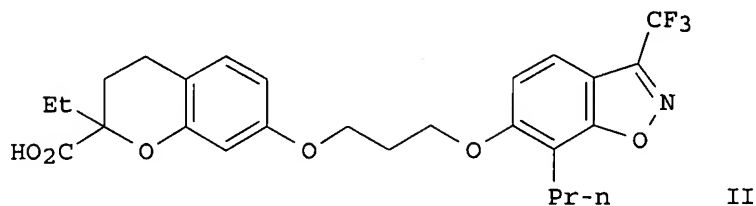


L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:575765 CAPLUS
DN 137:140435
TI Benzopyrancarboxylic acid derivatives with **PPAR** agonist activity
for the treatment of diabetes and lipid disorders, and their preparation,
pharmaceutical **compositions**, and use
IN Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.; Boueres, Julia K.;
Desai, Ranjit C.
PA USA
SO U.S. Pat. Appl. Publ., 42 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|-----------------|----------|
| PI | US 2002103242 | A1 | 20020801 | US 2001-21667 | 20011029 |
| | WO 2002060434 | A2 | 20020808 | WO 2001-US49501 | 20011026 |
| | WO 2002060434 | A3 | 20030619 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| PRAI | US 2000-244698P | P | 20001031 | | |
| OS | MARPAT 137:140435 | | | | |
| GI | | | | | |



I



II

AB A class of benzopyrancarboxylic acid derivs. is disclosed, which comprises compds. that are potent agonists (no data) of peroxisome proliferator activated receptors (PPAR) alpha and/or gamma, and are therefore useful in the treatment, control, or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions. In particular, compds. I and their pharmaceutically acceptable salts and/or prodrugs are disclosed [wherein: Z = CH₂, CO; R₁ = H, OH, halo, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, or aryl; or R₁ forms (un)substituted cyclopropane fusion to adjacent C atom; X, Y = O, S, SO, SO₂, CH₂, (un)substituted NH; n = 1-6; R₄ = (un)substituted benzoheterocyclyl, cycloalkyl, heterocyclyl, cycloalkyloxy, halo, OH or derivs., alk(en/yn)yl, alk(en/yn)yloxy, or aryl, etc.; other R groups = H, halo, OH, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, aryl, aryloxy, aroyl, etc.; or R₃R₄ or R₄R₅ = (un)substituted 5- or 6-membered heterocyclic ring]. A list of 29 compds. is claimed, and their prepn. is described. For example, Et 7-hydroxy-4-oxo-4H-chromene-2-carboxylate underwent a sequence of: (1) complete hydrogenation of the enone (98%), (2) etherification of the alc. with PhCH₂O(CH₂)₃Br (66%), (3) alpha ethylation of the ester (70%), (4) hydrogenolytic debenzoylation (100%), (5) conversion of the resultant alc. to a bromide (96%), (6) etherification of the bromide with 3-(trifluoromethyl)-7-propyl-6-hydroxybenz[4,5]isoxazole (85%), and (7) alk. hydrolysis (100%), to give title compd. II. PPAR binding assays using human recombinant PPAR are described without data. Co-administration of compds. I with a variety of other drug categories, including a no. of specific drugs, is claimed.

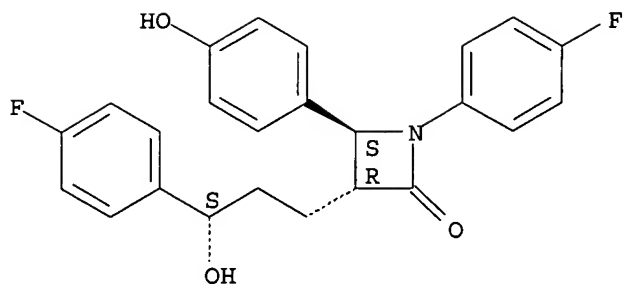
IT 163222-33-1, Ezetimibe

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic compns. also contg.; prepn. of
benzopyrancarboxylic acid derivs. as PPAR agonists for
treatment of diabetes and lipid disorders)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

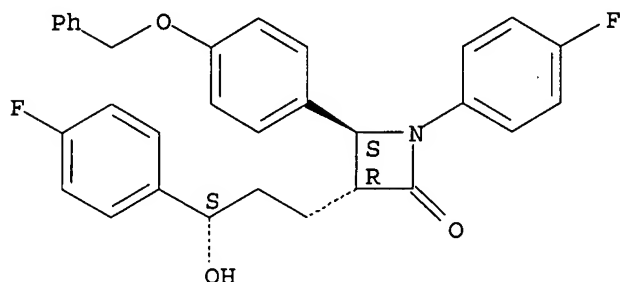


L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:574958 CAPLUS
DN 137:135087
TI Combinations of sterol absorption inhibitor(s) with blood modifier(s) for
treating vascular conditions
IN Kosoglou, Teddy; Ress, Rudyard Joseph; Strony, John; Veltri, Enrico P.
PA Schering Corporation, USA
SO PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|--|----------|-----------------|----------|
| PI | WO 2002058734 | A2 | 20020801 | WO 2002-US2013 | 20020125 |
| | WO 2002058734 | A3 | 20030703 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | US 2002147184 | A1 | 20021010 | US 2002-56680 | 20020125 |
| | US 2002192203 | A1 | 20021219 | US 2002-136968 | 20020501 |
| PRAI | US 2001-264275P | P | 20010126 | | |
| | US 2001-264396P | P | 20010126 | | |
| | US 2001-264600P | P | 20010126 | | |
| | US 2001-324123P | P | 20010921 | | |
| | US 2001-323839P | P | 20010921 | | |
| | US 2002-57323 | A3 | 20020125 | | |
| OS | MARPAT 137:135087 | | | | |
| AB | The present invention provides compsns. , therapeutic combinations and methods including: (a) at least one sterol absorption inhibitor administered in an amt. of 0.1-1000 mg/day; and (b) at least one blood modifier administered in an amt. of 1-1000 mg/day, which can be useful for treating vascular conditions, e.g., diabetes and obesity, and lowering plasma levels of sterols in mammals. A sterol absorption inhibitor is an azetidinone compd. or a .beta.-lactam, while a blood modifier was selected from anticoagulants, antithrombotics, fibrinogen receptor antagonists, platelet aggregation inhibitors, hemorheol. agents, lipoprotein assocd. coagulation inhibitors, Factor VIIa inhibitors, and Factor Xa inhibitors. Prepn. of a sterol inhibitor ezetimibe is described. | | | | |
| IT | 163222-32-0P 163380-15-2P | | | | |
| | RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) | | | | |
| | (combinations of sterol absorption inhibitors with blood modifiers for treatment of vascular disorders) | | | | |
| RN | 163222-32-0 CAPLUS | | | | |

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

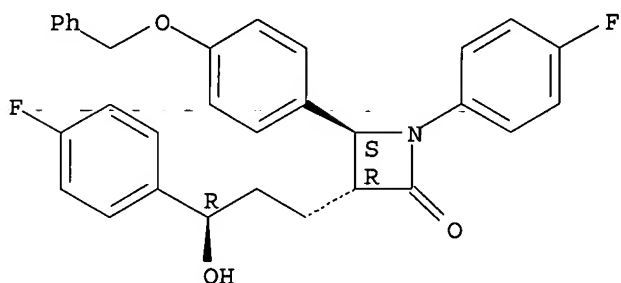
Absolute stereochemistry.



RN 163380-15-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



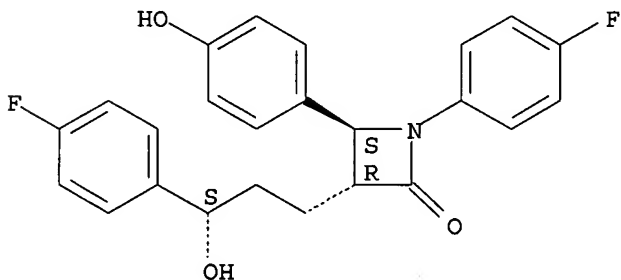
IT 163222-33-1P, Ezetimibe 163380-16-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combinations of sterol absorption inhibitors with blood modifiers for treatment of vascular disorders)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

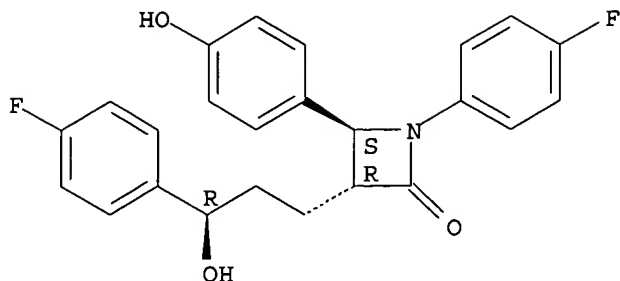
Absolute stereochemistry. Rotation (-).



RN 163380-16-3 CAPLUS

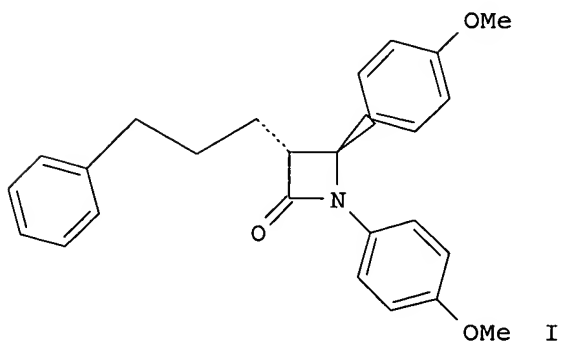
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:574957 CAPLUS
 DN 137:135086
 TI Combinations of bile acid sequestrant(s) and azetidinone sterol absorption inhibitor(s) and treatments for vascular indications
 IN Davis, Harry R.; Kosoglou, Teddy
 PA Schering Corporation, USA
 SO PCT Int. Appl., 138 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 5

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|-----------------|----------|
| PI | WO 2002058733 | A2 | 20020801 | WO 2002-US2010 | 20020125 |
| | WO 2002058733 | C2 | 20021121 | | |
| | WO 2002058733 | A3 | 20030626 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | US 2003053981 | A1 | 20030320 | US 2002-57534 | 20020125 |
| PRAI | US 2001-264600P | P | 20010126 | | |
| | US 2001-323842P | P | 20010921 | | |
| OS | MARPAT 137:135086 | | | | |
| GI | | | | | |



AB The present invention provides **compns.**, therapeutic combinations and methods including: (a) at least one bile acid sequestrant; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. The in vivo efficacy of I as a cholesterol absorption inhibitor was detd. in hamsters.

IT **163222-33-1P**

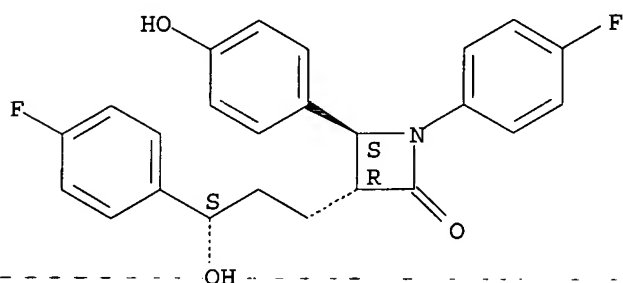
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of bile acid sequestrant and azetidinone sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **163222-32-0P**

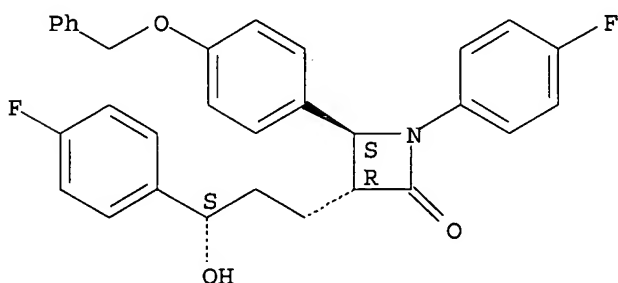
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of bile acid sequestrant and azetidinone sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:574956 CAPLUS

DN 137:129904

TI Combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases

IN Kosoglou, Teddy; Davis, Harry R.; Picard, Gilles Jean Bernard

PA Schering Corporation, USA

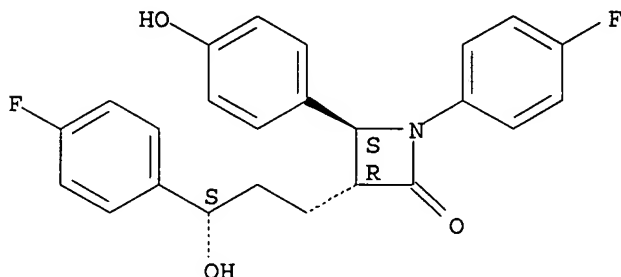
SO PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 5

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|--|----------|-----------------|----------|
| PI | WO 2002058732 | A2 | 20020801 | WO 2002-US2009 | 20020125 |
| | WO 2002058732 | A3 | 20030703 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | US 2002151536 | A1 | 20021017 | US 2002-57323 | 20020125 |
| | US 2002192203 | A1 | 20021219 | US 2002-136968 | 20020501 |
| PRAI | US 2001-264396P | P | 20010126 | | |
| | US 2001-323839P | P | 20010921 | | |
| | US 2002-57323 | A3 | 20020125 | | |
| OS | MARPAT 137:129904 | | | | |
| AB | The present invention provides compns. , therapeutic combinations and methods including: (a) at least one peroxisome proliferator-activated receptor (PPAR) activator; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. A tablet contained azetidinone 10, lactose monohydrate 55, microcryst. cellulose 20, povidone 4, croscarmellose sodium 8, sodium lauryl sulfate 2, and magnesium stearate 1 mg. The tablet can be coadministered with a tablets contg. a PPAR activator such as ezetimibe. Synthetic prepn. of ezetimibe from fluorohenylazetidinone derivs. is described. The coadministration of 10 mg of ezetimibe with 200 mg of fenofibrate was well tolerated and caused a significant redn. in LDL-C as compared to either drug alone or placebo. | | | | |
| IT | 163222-33-1, Ezetimibe. RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases) | | | | |
| RN | 163222-33-1 CAPLUS | | | | |
| CN | 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME) | | | | |

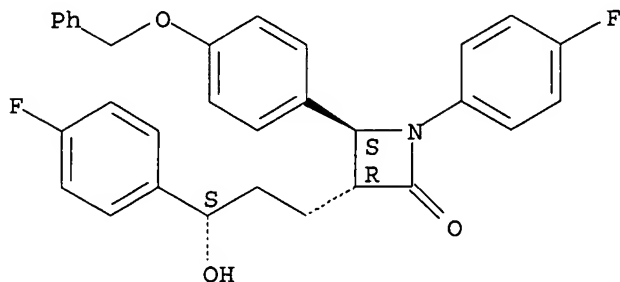
Absolute stereochemistry. Rotation (-).



IT 163222-32-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases)

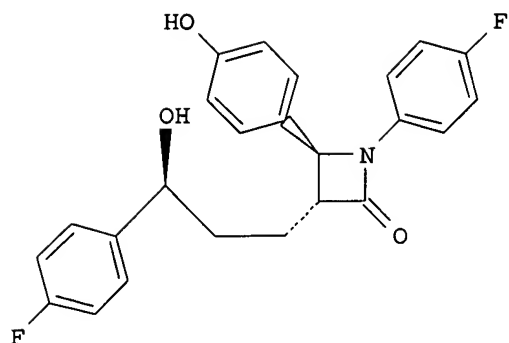
RN 163222-32-0 CAPLUS
 CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:574955 CAPLUS
 DN 137:129903
 TI Combinations of azetidinone sterol absorption inhibitor(s) with cardiovascular agent(s) for the treatment of vascular conditions
 IN Kosoglou, Teddy; Ress, Rudyard Joseph; Strony, John; Veltri, Enrico P.; Hauer, William
 PA Schering Corporation, USA
 SO PCT Int. Appl., 105 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 5

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2002058731 | A2 | 20020801 | WO 2002-US1196 | 20020125 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2003069221 | A1 | 20030410 | US 2002-57339 | 20020125 |
| | US 2002192203 | A1 | 20021219 | US 2002-136968 | 20020501 |
| PRAI | US 2001-264275P | P | 20010126 | | |
| | US 2001-264396P | P | 20010126 | | |
| | US 2001-264600P | P | 20010126 | | |
| | US 2001-323842P | P | 20010921 | | |
| | US 2001-323839P | P | 20010921 | | |
| | US 2002-57323 | A3 | 20020125 | | |
| OS | MARPAT 137:129903 | | | | |
| GI | | | | | |



I

AB The present invention provides **compns.**, therapeutic combinations and methods including: (a) at least one sterol absorption inhibitor and (b) at least one cardiovascular agent different from the sterol absorption inhibitor, which can be useful for treating vascular conditions, obesity, diabetes and lowering plasma levels of sterols. Tablets were prepd. contg. cardiovascular agents which can be coadministered with formulations contg., e.g., I. The prepn. of I was given.

IT **163222-32-0P**

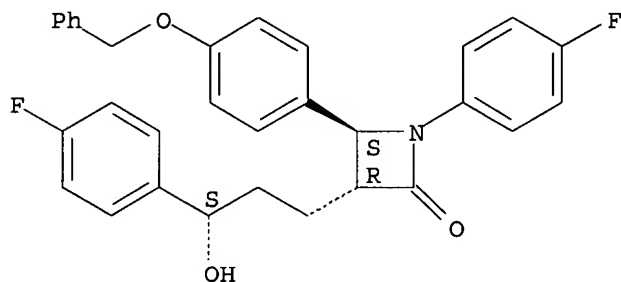
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of azetidinone sterol absorption inhibitor(s) with cardiovascular agent(s) for the treatment of vascular conditions)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **163222-33-1P**

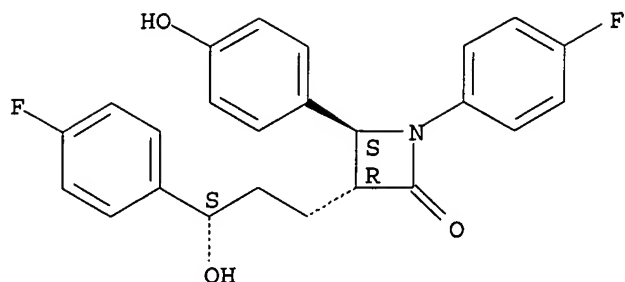
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of azetidinone sterol absorption inhibitor(s) with cardiovascular agent(s) for the treatment of vascular conditions)

RN 163222-33-1 CAPLUS

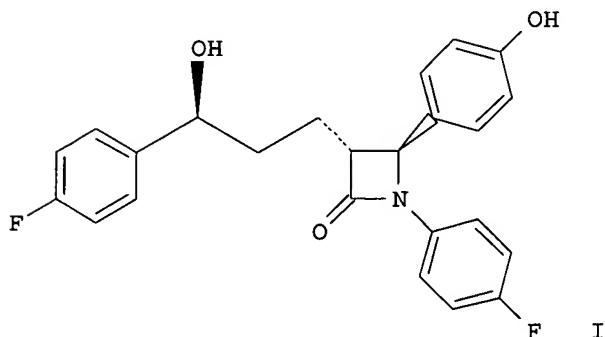
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:574926 CAPLUS
 DN 137:135094
 TI The use of substituted azetidinone compounds for the treatment of
 sitosterolemia
 IN Davis, Harry R.
 PA Schering Corporation, USA
 SO PCT Int. Appl., 105 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2002058696 | A2 | 20020801 | WO 2002-US1195 | 20020125 |
| | WO 2002058696 | A3 | 20030313 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2002169134 | A1 | 20021114 | US 2002-57629 | 20020125 |
| PRAI | US 2001-264645P | P | 20010126 | | |
| OS | MARPAT 137:135094 | | | | |
| GI | | | | | |



AB The invention discloses the use of sterol absorption-inhibiting compds., pharmaceutical compns. thereof, therapeutic combinations, and their use in combination with other lipid-lowering agents to treat or prevent sitosterolemia and/or to lower the concn. of sterol(s) other than cholesterol in plasma or tissue of a mammal. Methods of treating or

preventing vascular disease and coronary events also are provided. The methodol. and **comps.** of the invention use substituted azetidinone compds., e.g. I (prepn. described).

IT 163222-33-1P

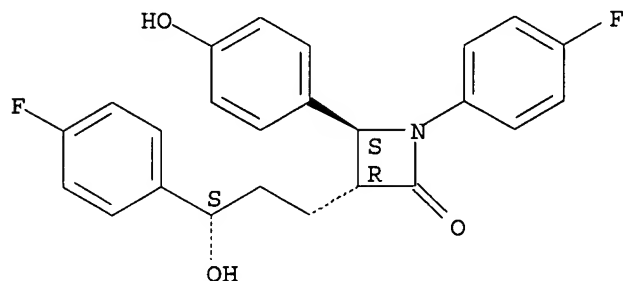
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azetidinone derivs. for treatment of sitosterolemia)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 444313-49-9 444313-50-2 444313-51-3

444313-53-5 444313-55-7 444313-57-9

444313-59-1 444313-60-4 444313-61-5

444313-62-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(azetidinone derivs. for treatment of sitosterolemia)

RN 444313-49-9 CAPLUS

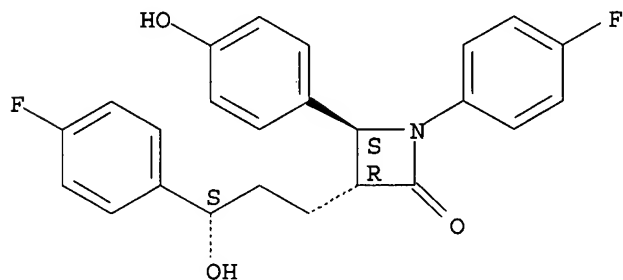
CN Butanoic acid, 2-methyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, (2S)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1

CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

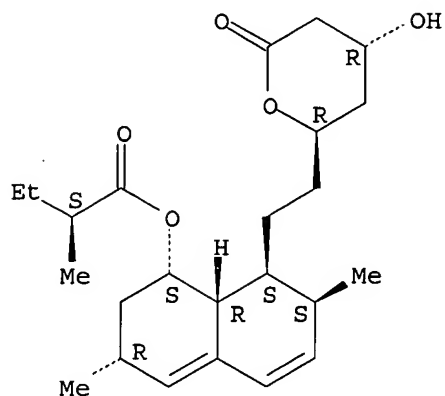


CM 2

CRN 75330-75-5

CMF C24 H36 O5

Absolute stereochemistry.



RN 444313-50-2 CAPLUS

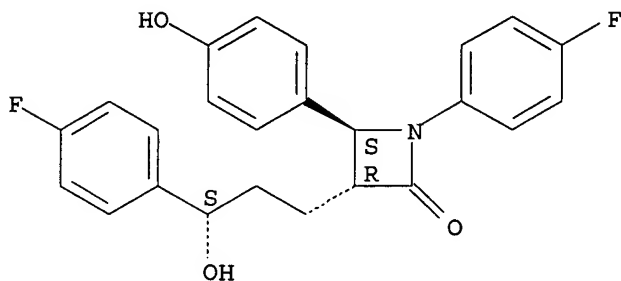
CM 1-Naphthaleneheptanoic acid, 1,2,6,7,8,8a-hexahydro-.beta.,.delta.,6-trihydroxy-2-methyl-8-[(2S)-2-methyl-1-oxobutoxy]-, (.beta.R,.delta.R,1S,2S,6S,8S,8aR)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1

CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

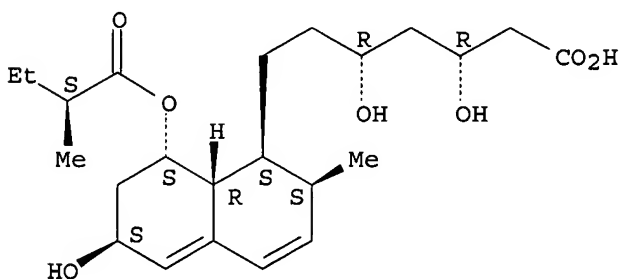


CM 2

CRN 81093-37-0

CMF C23 H36 O7

Absolute stereochemistry.

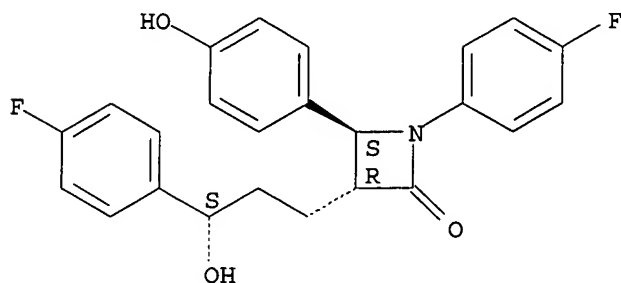


RN 444313-51-3 CAPLUS
 CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
 CMF C24 H21 F2 N O3

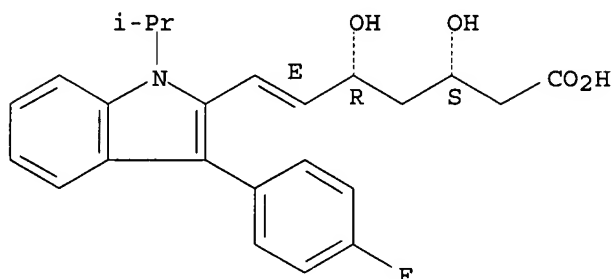
Absolute stereochemistry. Rotation (-).



CM 2

CRN 93957-54-1
 CMF C24 H26 F N O4

Relative stereochemistry.
 Double bond geometry as shown.

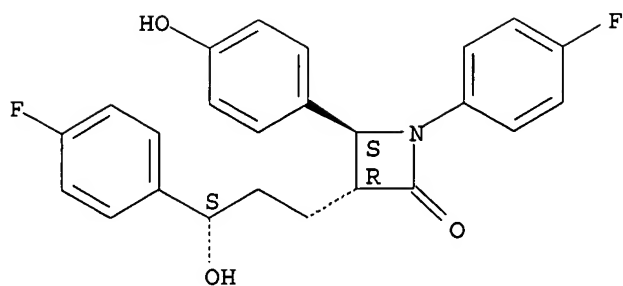


RN 444313-53-5 CAPLUS
 CN Butanoic acid, 2,2-dimethyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1
 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

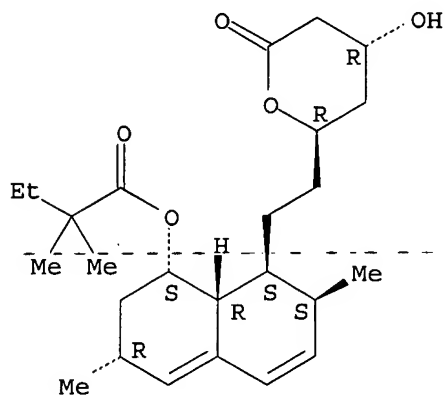


CM 2

CRN 79902-63-9

CMF C25 H38 O5

Absolute stereochemistry.



RN 444313-55-7 CAPLUS

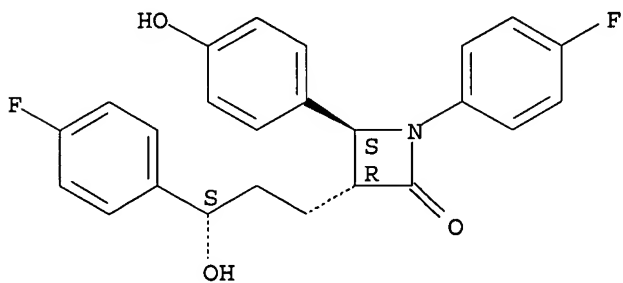
CM 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-.beta.,.delta.-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, (.beta.R,.delta.R)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1

CMF C24 H21 F2 N O3

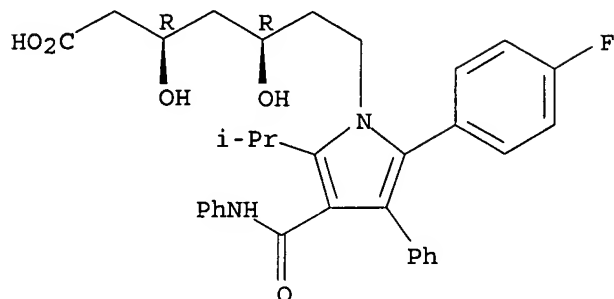
Absolute stereochemistry. Rotation (-).



CM 2

CRN 134523-00-5
CMF C33 H35 F N2 O5

Absolute stereochemistry.

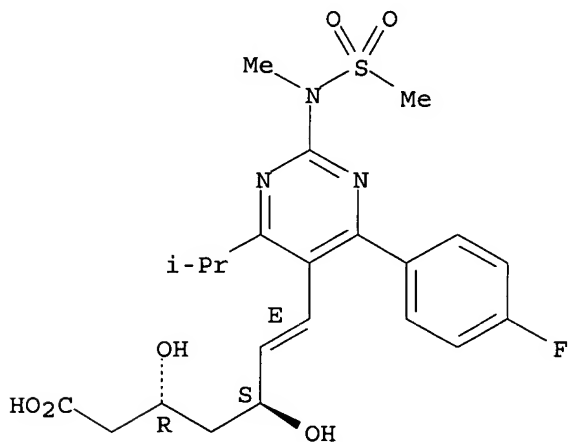


RN 444313-57-9 CAPLUS
CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-6-(1-methylethyl)-2-[methyl(methylsulfonyl)amino]-5-pyrimidinyl]-3,5-dihydroxy-, (3R,5S,6E)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 287714-41-4
CMF C22 H28 F N3 O6 S

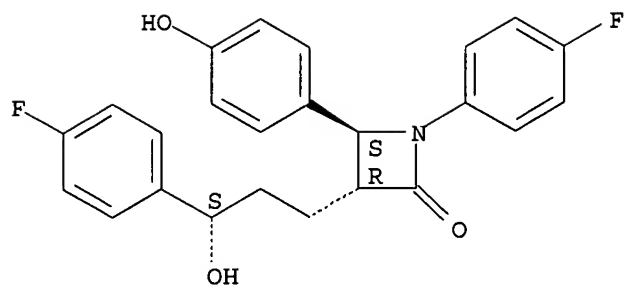
Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 163222-33-1
CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



RN 444313-59-1 CAPLUS

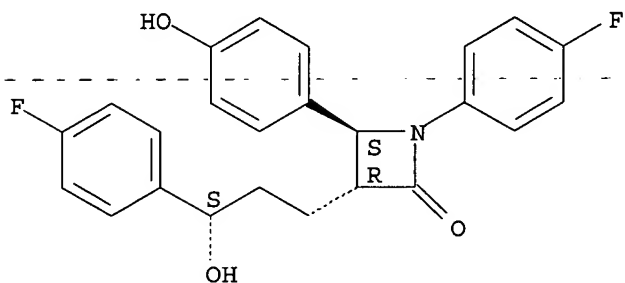
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)-, mixt. with (4R,6S)-6-[(1E)-2-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]ethenyl]tetrahydro-4-hydroxy-2H-pyran-2-one (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1

CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

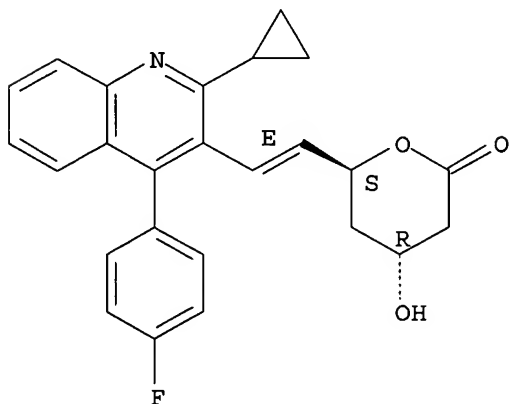


CM 2

CRN 141750-63-2

CMF C25 H22 F N O3

Absolute stereochemistry.
Double bond geometry as shown.

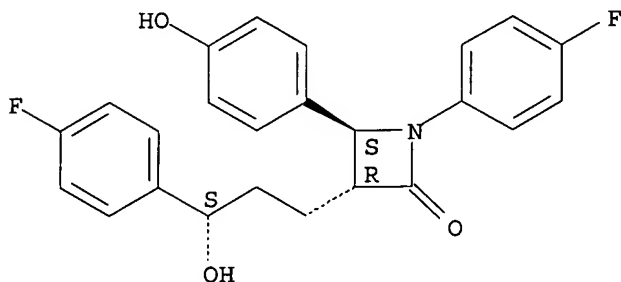


RN 444313-60-4 CAPLUS
 CN Cholestyramine, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI)
 (CA INDEX NAME)

CM 1

CRN 163222-33-1
 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 11041-12-6
 CMF Unspecified
 CCI PMS, MAN

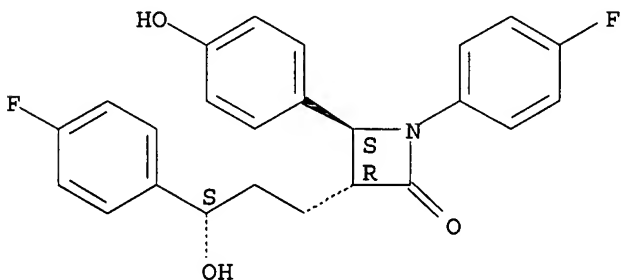
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 444313-61-5 CAPLUS
 CN 1-Hexanaminium, N,N,N-trimethyl-6-(2-propenylamino)-, chloride, polymer with (chloromethyl)oxirane, 2-propen-1-amine and N-2-propenyl-1-decanamine, hydrochloride, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI)
 (CA INDEX NAME)

CM 1

CRN 163222-33-1
 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 182815-44-7
 CMF (C13 H27 N . C12 H27 N2 . C3 H7 N . C3 H5 Cl O . Cl)x . x Cl H

CM 3

CRN 182815-43-6

CMF (C13 H27 N . C12 H27 N2 . C3 H7 N . C3 H5 Cl O . Cl)x

CCI PMS

CM 4

CRN 182815-42-5

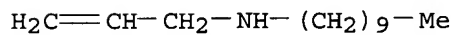
CMF C12 H27 N2 . Cl



CM 5

CRN 92162-19-1

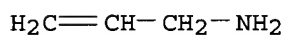
CMF C13 H27 N



CM 6

CRN 107-11-9

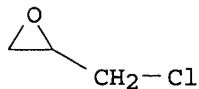
CMF C3 H7 N



CM 7

CRN 106-89-8

CMF C3 H5 Cl O



RN 444313-62-6 CAPLUS

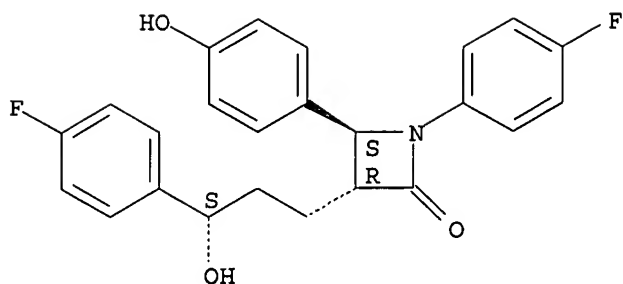
CN Colestipol, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI)
(CA INDEX NAME)

CM 1

CRN 163222-33-1

CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 50925-79-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

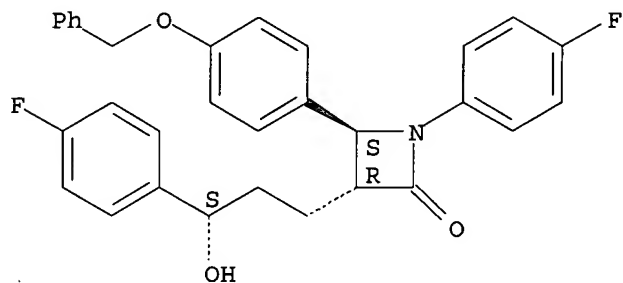
IT 163222-32-0P 163380-15-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and reaction; azetidinone derivs. for treatment of
sitosterolemia)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-
hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX
NAME)

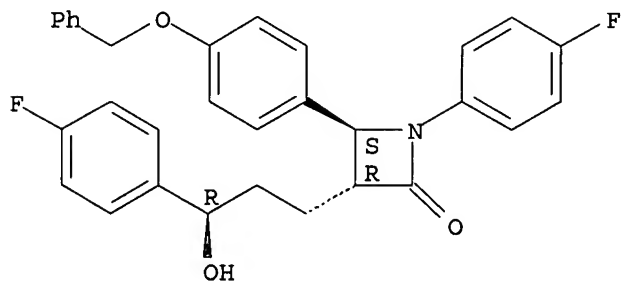
Absolute stereochemistry.



RN 163380-15-2 CAPLUS

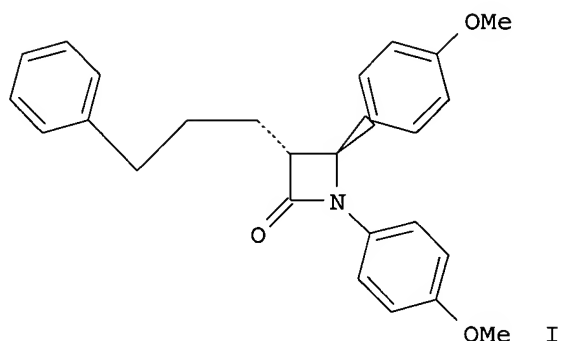
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3-
hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:574915 CAPLUS
 DN 137:119671
 TI Combinations of nicotinic acid and derivatives thereof and azetidine
 sterol absorption inhibitor(s) and treatments for vascular indications
 IN Davis, Harry R.; Kosoglou, Teddy
 PA Schering Corporation, USA
 SO PCT Int. Appl., 131 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 5

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|-----------------|----------|
| PI | WO 2002058685 | A2 | 20020801 | WO 2002-US2004 | 20020125 |
| | WO 2002058685 | A3 | 20030501 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | US 2002183305 | A1 | 20021205 | US 2002-57646 | 20020125 |
| PRAI | US 2001-264275P | P | 20010126 | | |
| | US 2001-323842P | P | 20010921 | | |
| OS | MARPAT 137:119671 | | | | |
| GI | | | | | |



AB The present invention provides **compns.**, therapeutic combinations and methods including: (a) at least one of nicotinic acid or derivs. thereof; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. The in vivo efficacy of I as a cholesterol absorption inhibitor was detd. in hamsters.

IT 163222-33-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

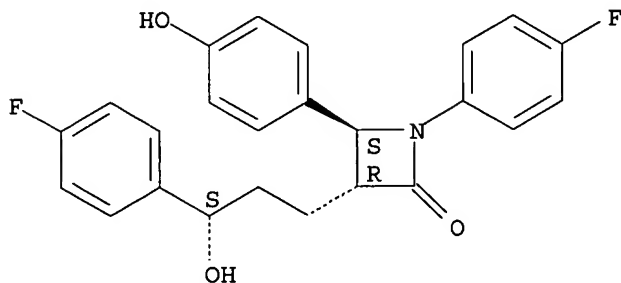
(combinations of nicotinic acid and derivs. and azetidine sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-

hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 163222-32-0P

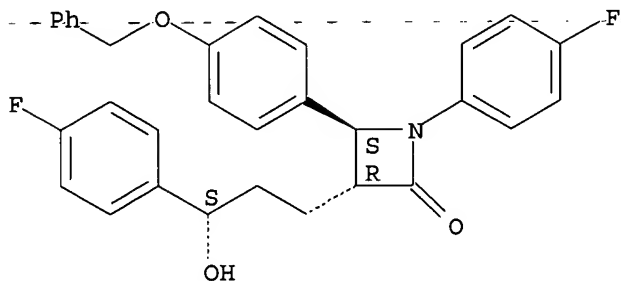
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of nicotinic acid and derivs. and azetidine sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> dis hist

(FILE 'HOME' ENTERED AT 10:50:17 ON 07 SEP 2003)

FILE 'REGISTRY' ENTERED AT 10:50:27 ON 07 SEP 2003

L1 STRUCTURE UPLOADED

L2 2 S L1 SSS SAM

L3 218 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:52:15 ON 07 SEP 2003

L4 16 S L3 AND COMPOSITION

L5 9 S L4 AND (ANTIDIABETIC OR HMG OR PPAR)